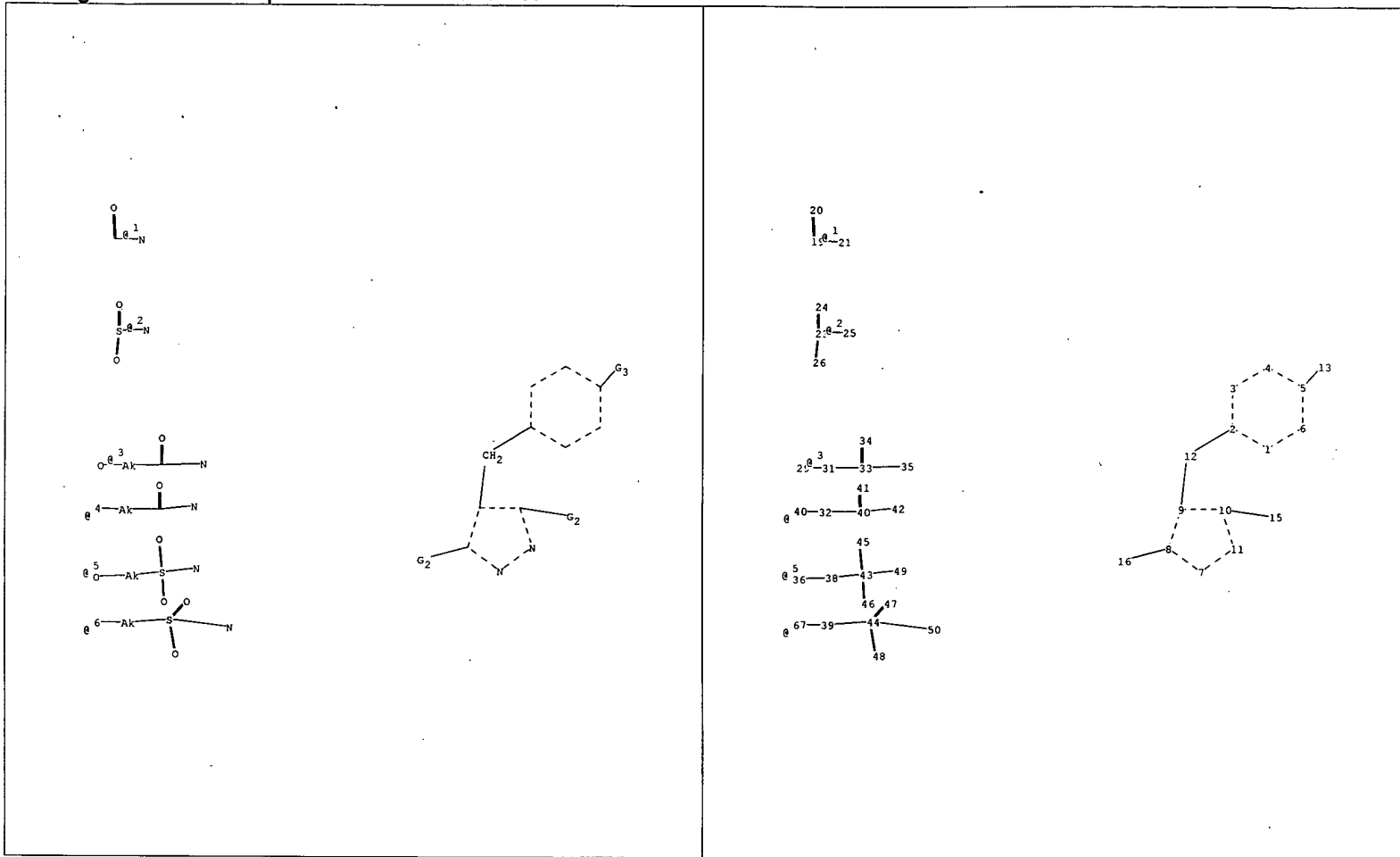


## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	2	"20060128635"	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/04/01 14:01
L2	2	"20060166899"	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/04/01 14:01
L3	2	2 and cycloalkyl	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/04/01 15:09
L4	3	"20040063646"	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/04/01 14:39
L5	2	"6683056".pn.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/04/01 15:18
L6	708	536/17.2.ccls.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/04/01 15:18
L7	205	536/17.3.ccls.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/04/01 15:18

## EAST Search History

L8	724	536/18.1.ccls.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/04/01 15:18
L9	1495	514/25.ccls.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/04/01 15:18
L10	1174	514/27.ccls.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/04/01 15:18



chain nodes :

12 13 15 16 19 20 23 24 26 29 30 31 32 33 34 36 37 38 39 40 41 43 44 45 46 47 48

ring nodes :

1 2 3 4 5 6 7 8 9 10 11

ring/chain nodes :

21 25 35 42 49 50

chain bonds :

2-12 5-13 8-16 9-12 10-15 19-20 19-21 23-24 23-25 23-26 29-31 30-32 31-33 32-40 33-34 33-35 36-38  
37-39 38-43 39-44 40-41 40-42 43-45 43-46 43-49 44-47 44-48 44-50

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-13 7-8 7-11 8-9 8-16 9-10 10-11 10-15 19-20 19-21 23-24 23-25 23-26 29-31  
30-32 31-33 32-40 33-34 33-35 36-38 37-39 38-43 39-44 40-41 40-42 43-45 43-46 43-49 44-47 44-48 44-50

exact bonds :

2-12 9-12

G1:C,S

G2:O,Cb,Ak

G3:[\*1],[\*2],[\*3],[\*4],[\*5],[\*6]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:CLASS 13:CLASS 15:CLASS  
16:CLASS 19:CLASS 20:CLASS 21:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS  
33:CLASS

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(FILE 'HOME' ENTERED AT 13:42:38 ON 01 APR 2007)

FILE 'REGISTRY' ENTERED AT 13:43:00 ON 01 APR 2007

L1           STRUCTURE UPLOADED

L2           5 S L1 SSS SAM

L3           72 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:43:48 ON 01 APR 2007

L4           12 S L3

34:CLASS35:CLASS36:CLASS37:CLASS38:CLASS39:CLASS40:CLASS41:CLASS42:CLASS43:CLASS44:CLASS  
45:CLASS46:CLASS47:CLASS48:CLASS49:CLASS50:CLASS

10/523,820

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NEWS 3 DEC 18 CA/CAPplus pre-1967 chemical substance index entries enhanced  
with preparation role  
NEWS 4 DEC 18 CA/CAPplus patent kind codes updated  
NEWS 5 DEC 18 MARPAT to CA/CAPplus accession number crossover limit increased  
to 50,000  
NEWS 6 DEC 18 MEDLINE updated in preparation for 2007 reload  
NEWS 7 DEC 27 CA/CAPplus enhanced with more pre-1907 records  
NEWS 8 JAN 08 CHEMLIST enhanced with New Zealand Inventory of Chemicals  
NEWS 9 JAN 16 CA/CAPplus Company Name Thesaurus enhanced and reloaded  
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NEWS 11 JAN 16 WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data  
NEWS 12 JAN 22 CA/CAPplus updated with revised CAS roles  
NEWS 13 JAN 22 CA/CAPplus enhanced with patent applications from India  
NEWS 14 JAN 29 PHAR reloaded with new search and display fields  
NEWS 15 JAN 29 CAS Registry Number crossover limit increased to 300,000 in  
multiple databases  
NEWS 16 FEB 15 PATDPASPC enhanced with Drug Approval numbers  
NEWS 17 FEB 15 RUSSIAPAT enhanced with pre-1994 records  
NEWS 18 FEB 23 KOREAPAT enhanced with IPC 8 features and functionality  
NEWS 19 FEB 26 MEDLINE reloaded with enhancements  
NEWS 20 FEB 26 EMBASE enhanced with Clinical Trial Number field  
NEWS 21 FEB 26 TOXCENTER enhanced with reloaded MEDLINE  
NEWS 22 FEB 26 IFICDB/IFIPAT/IFIUDB reloaded with enhancements  
NEWS 23 FEB 26 CAS Registry Number crossover limit increased from 10,000  
to 300,000 in multiple databases  
NEWS 24 MAR 15 WPIDS/WPIX enhanced with new FRAGHITSTR display format  
NEWS 25 MAR 16 CASREACT coverage extended  
NEWS 26 MAR 20 MARPAT now updated daily  
NEWS 27 MAR 22 LWPI reloaded  
NEWS 28 MAR 30 RDISCLOSURE reloaded with enhancements  
NEWS 29 MAR 30 INPADOCDB will replace INPADOC on STN  
  
NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.  
  
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FULL ESTIMATED COST 0.21 0.21

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DICTIONARY FILE UPDATES: 30 MAR 2007 HIGHEST RN 928818-37-5

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L1 STRUCTURE UPLOADED

=> d l1  
L1 HAS NO ANSWERS  
L1 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 235 TO ITERATE

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SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 3781 TO 5619  
PROJECTED ANSWERS: 5 TO 234

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=> s l1 sss full  
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FULL SCREEN SEARCH COMPLETED - 4964 TO ITERATE

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SEARCH TIME: 00.00.01

L3 72 SEA SSS FUL L1

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=> s 13'

L4 12 L3

=> d bib abs hitstr 1-12 14

L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:1346218 CAPLUS

DN 144:88321

TI Preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase

IN Delorme, Daniel; Woo, Soon Hyung; Vaisburg, Arkadii; Moradei, Oscar; Leit, Silvana; Raepel, Stephane; Frechette, Sylvie; Bouchain, Giliane

PA Methylgene, Inc., Can.

SO U.S. Pat. Appl. Publ., 324 pp., Cont.-in-part of U.S. Ser. No. 358,556.

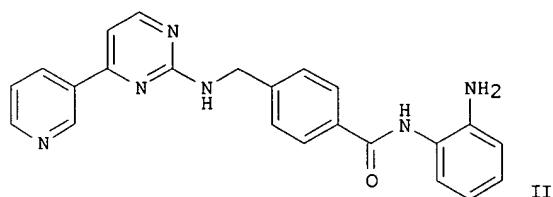
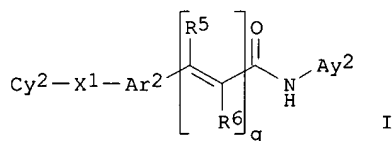
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 3

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PI	US 2005288282	A1	20051229	US 2005-91025	20050325
	US 2004106599	A1	20040603	US 2002-242304	20020912
	US 2004142953	A1	20040722	US 2003-358556	20030204
	US 6897220	B2	20050524		
	JP 2005255683	A	20050922	JP 2005-80310	20050318
	AU 2006252047	A1	20070111	AU 2006-252047	20061214
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	US 2002-391728P	P	20020626		
	US 2002-242304	A2	20020912		
	US 2003-358556	A2	20030204		
	AU 2002-327627	A3	20020912		
	JP 2003-528544	A3	20020912		
OS	MARPAT 144:88321				
GI					



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AB The invention provides compds. and methods for inhibiting histone deacetylase enzymic activity. Such compds. include carboxamides I [Cy2 = (un)substituted cycloalkyl, aryl, heteroaryl, heterocyclyl (each of which is optionally fused to one or two aryl or heteroaryl rings, or to one or two (un)saturated cycloalkyl or heterocyclic rings); X1 = a bond, M1L2M1, L2M2L2 (wherein L2 = a bond, alkylene, alkenylene, alkynylene; M1 = O, S, SO, NHCO, etc.; M2 = M1, heteroarylene, heterocyclylene); Ar2 = (un)substituted (hetero)arylene; R5, R6 = H, alkyl, aryl, aralkyl; q = 0-1; Ay2 = (un)substituted 5-6 membered cycloalkyl, heterocyclyl or heteroaryl substituted with an amino or hydroxy moiety; with provisos] which were prepared and claimed. E.g., a multi-step synthesis of II, starting from Me 4-(aminomethyl)benzoate.HCl, was given. The invention also provides compns. and methods for treating cell proliferative diseases and conditions. Antineoplastic effects of some I are illustrated for colorectal, pulmonary and pancreatic neoplasms; also the combined antineoplastic effect of histone deacetylase inhibitors and histone deacetylase antisense oligonucleotides on tumor cells in vivo was demonstrated. Although the methods of preparation are not claimed, hundreds of example preps. are included.

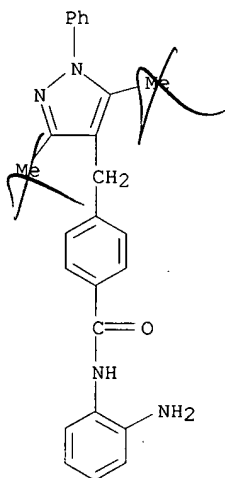
IT 503040-37-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase for treating cell proliferative disorders)

RN 503040-37-7 CAPLUS

CN Benzamide, N-(2-aminophenyl)-4-[(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:1328798 CAPLUS

DN 144:51831

TI Synthesis of fluoro-glycoside derivs. of pyrazoles for use in treatment of diabetes or for lowering blood sugar levels

IN Brummerhop, Harm; Frick, Wendelin; Glombik, Heiner; Plettenburg, Oliver; Bickel, Martin; Heuer, Hubert; Theis, Stefan

PA Aventis Pharma Deutschland G.m.b.H., Germany

SO PCT Int. Appl., 78 pp.

CODEN: PIXXD2

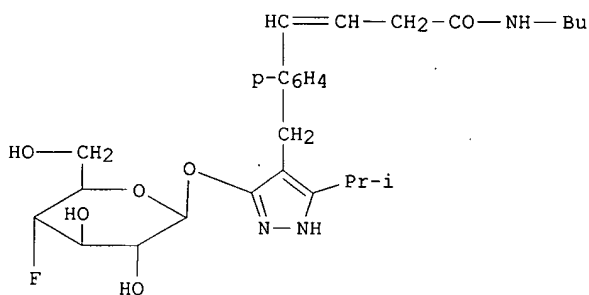
DT Patent

LA German

FAN.CNT 1

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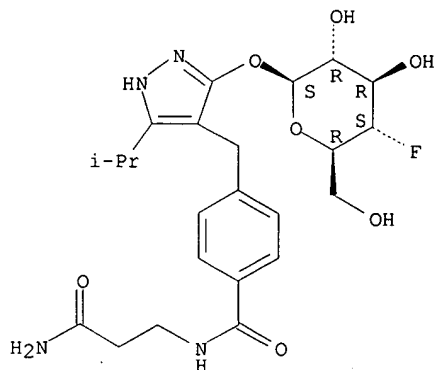
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 NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,  
 SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,  
 ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
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 DE 102004028241 A1 20060105 DE 2004-102004028241 20040611  
 AU 2005252329 A1 20051222 AU 2005-252329 20050603  
 CA 2570042 A1 20051222 CA 2005-2570042 20050603  
 EP 1758914 A1 20070307 EP 2005-746637 20050603  
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 HR, LV, MK, YU  
 PRAI DE 2004-102004028241 A 20040611  
 WO 2005-EP5959 W 20050603  
 OS MARPAT 144:51831  
 GI



- AB The invention relates to substituted fluoro-glycoside derivs. of pyrazoles, e.g. (I), and their physiol. compatible salts, which inhibit Na<sup>+</sup>-dependent glucose transporter 1 (SGLT-1) and to a method for their production. Thus, 1-bromo-4-deoxy-4-fluoro-2,3,6-tri-O-benzoyl- $\alpha$ -D-glucopyranose was prepared from Me 2,3,6-tri-O-benzoyl  $\alpha$ -D-galactopyranose in 3 steps, and reacted with 4-(4-bromo-benzyl)-5-isopropylpyraz-3-ol, prepared from Me 4-methyl-3-oxopentanoate in 2 steps, to give the  $\beta$ -linked pyrazole intermediate (II). II was then reacted with 3-butenic acid, followed by a condensation reaction with n-butylamine and deprotection of the sugar oxygens to give I. In in vitro tests using CHO-TREx-hSGLT1 cell line (derivation given), measuring the concentration at which uptake of Me  $\alpha$ -D-glucopyranoside was reduced by 50%, I had IC50 value of 0.043  $\mu$ M.
- IT 871484-43-4P 871484-44-5P 871484-45-6P  
 871484-46-7P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of fluoro-glycoside derivs. of pyrazoles for use in treatment of diabetes or for lowering blood sugar levels)
- RN 871484-43-4 CAPLUS
- CN Benzamide, N-(3-amino-3-oxopropyl)-4-[[3-[(4-deoxy-4-fluoro- $\beta$ -D-glucopyranosyl)oxy]-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

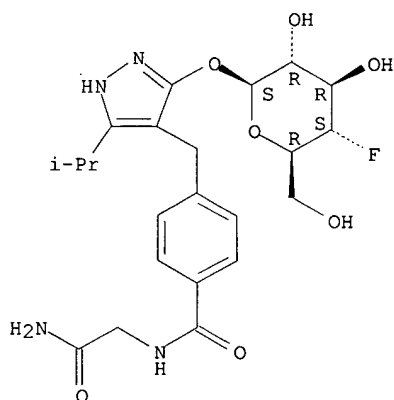
10/523,820



RN 871484-44-5 CAPLUS

CN Benzamide, N-(2-amino-2-oxoethyl)-4-[[3-[(4-deoxy-4-fluoro-β-D-glucopyranosyl)oxy]-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]- (9CI) (CA INDEX NAME)

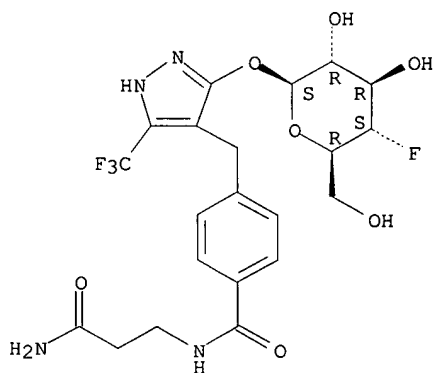
Absolute stereochemistry.



RN 871484-45-6 CAPLUS

CN Benzamide, N-(3-amino-3-oxopropyl)-4-[[3-[(4-deoxy-4-fluoro-β-D-glucopyranosyl)oxy]-5-(trifluoromethyl)-1H-pyrazol-4-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



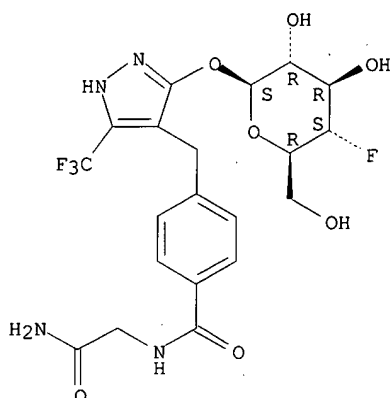
RN 871484-46-7 CAPLUS

CN Benzamide, N-(2-amino-2-oxoethyl)-4-[[3-[(4-deoxy-4-fluoro-β-D-glucopyranosyl)oxy]-5-(trifluoromethyl)-1H-pyrazol-4-yl]methyl]- (9CI) (CA INDEX NAME)

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10/523,820

Absolute stereochemistry.



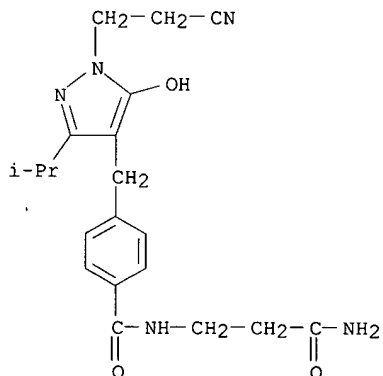
IT 871484-11-6P 871484-12-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of fluoro-glycoside derivs. of pyrazoles for use in treatment of diabetes or for lowering blood sugar levels)

RN 871484-11-6 CAPLUS

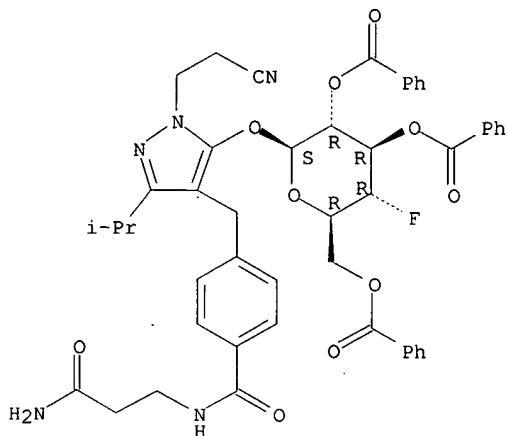
CN Benzamide, N-(3-amino-3-oxopropyl)-4-[[1-(2-cyanoethyl)-5-hydroxy-3-(1-methylethyl)-1H-pyrazol-4-yl]methyl]- (9CI) (CA INDEX NAME)



RN 871484-12-7 CAPLUS

CN Benzamide, N-(3-amino-3-oxopropyl)-4-[[1-(2-cyanoethyl)-3-(1-methylethyl)-5-[(2,3,6-tri-O-benzoyl-4-deoxy-4-fluoro-β-D-glucopyranosyl)oxy]-1H-pyrazol-4-yl]methyl]- (9CI) (CA INDEX NAME)

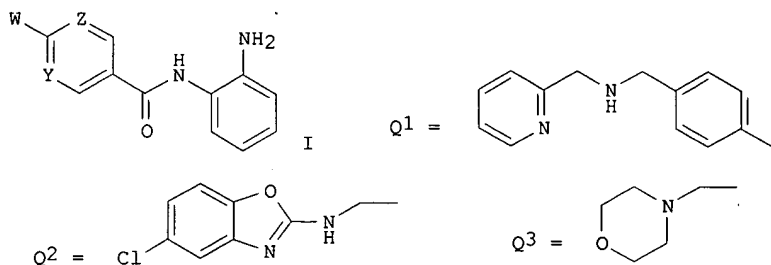
Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2004:589250 CAPLUS  
DN 141:140470  
TI Preparation of aminophenylbenzamides as inhibitors of histone deacetylase  
IN Delorme, Daniel; Zhou, Zhihong  
PA Methylgene, Inc., Can.  
SO U.S. Pat. Appl. Publ., 318 pp., Cont.-in-part of U.S. Ser. No. 242,304.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004142953	A1	20040722	US 2003-358556	20030204
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	US 2004106599	A1	20040603	US 2002-242304	20020912
	AU 2004210016	A1	20040819	AU 2004-210016	20040204
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	WO 2004069823	A1	20040819	WO 2004-CA139	20040204
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	WO 2004-CA139	W	20040204		
OS	MARPAT 141:140470				
GI					

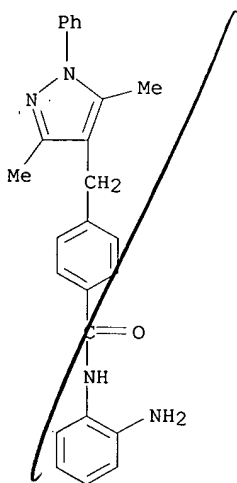


AB Title compds. e.g. (I; Y, Z = N, CH; W = Q1, Q2, Q3, etc.), were prepared  
 Thus, 4-[[[(4-Amino-6-(2-indanylamino)-[1,3,5]triazin-2-yl)amino]methyl]benzoic acid (preparation given) in DMF was stirred with Et3N, BOP, and 1,2-phenylenediamine to give 63% 4-[[[(4-Amino-6-(2-indanylamino)-[1,3,5]triazin-2-yl)amino]methyl]-N-(2-aminophenyl)benzamide. The latter inhibited human histone deacetylase HDAC-1 with IC50 = 0.4  $\mu$ M.

IT 503040-37-7P, N-(2-Aminophenyl)-4-[(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methyl]benzamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of aminophenylbenzamides as inhibitors of histone deacetylase for treating cell proliferative disorders)

RN 503040-37-7 CAPLUS

CN Benzamide, N-(2-aminophenyl)-4-[(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methyl]- (9CI) (CA INDEX NAME)



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2004:512993 CAPLUS  
 DN 141:76809  
 TI Anti-inflammatory coatings for implantable medical devices containing a TACE inhibitor  
 IN Dodd, John H.  
 PA USA  
 SO U.S. Pat. Appl. Publ., 14 pp.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004120977	A1	20040624	US 2003-732570	20031210
	WO 2004060212	A1	20040722	WO 2003-US39312	20031210

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003297849 A1 20040729 AU 2003-297849 20031210  
 PRAI US 2002-434007P P 20021217  
 US 2003-482273P P 20030625  
 WO 2003-US39312 W 20031210

OS MARPAT 141:76809

AB The present invention relates to implantable surgical medical devices having coatings comprising one or more compds. that inhibit TNF- $\alpha$  converting enzyme (TACE), more particularly, stents having coatings comprising TACE inhibitors. A TACE inhibitor is effective in reducing restenosis.

IT 461664-87-9

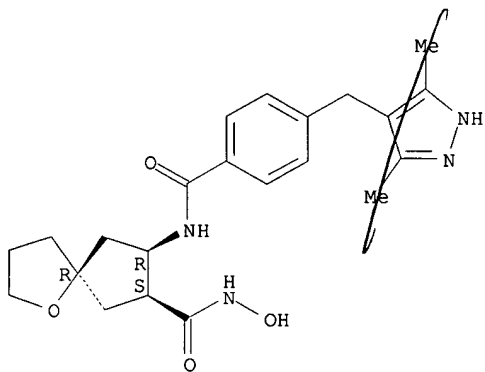
RL: DEV (Device component use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(anti-inflammatory coatings for implantable medical devices containing TACE inhibitor)

RN 461664-87-9 CAPLUS

CN 1-Oxaspiro[4.4]nonane-7-carboxamide, 8-[[4-[(3,5-dimethyl-1H-pyrazol-4-yl)methyl]benzoyl]amino]-N-hydroxy-, (5R,7S,8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:311011 CAPLUS

DN 140:321649

TI Preparation of pyrazolyl glycoside derivatives as inhibitors of 1,5-anhydroglucitol/fructose/mannose transporters

IN Fujikura, Hideki; Kikuchi, Norihiko; Tazawa, Shigeki; Yamato, Tokuhisa; Isaji, Masayuki

PA Kissei Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 159 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

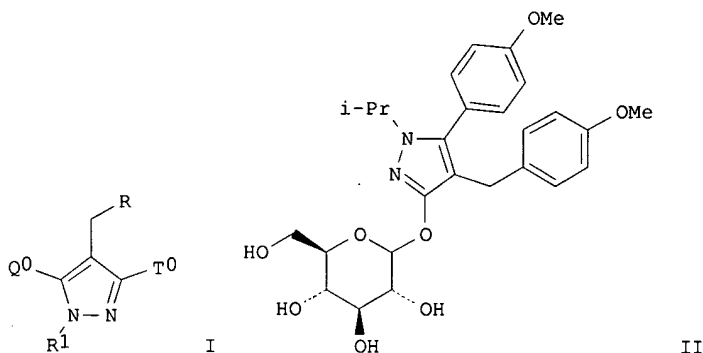
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004031203	A1	20040415	WO 2003-JP12477	20030930
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

10/523,820

CA 2500873	A1	20040415	CA 2003-2500873	20030930
AU 2003272903	A1	20040423	AU 2003-272903	20030930
EP 1550668	A1	20050706	EP 2003-753967	20030930
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006128635	A1	20060615	US 2005-529895	20050919
PRAI JP 2002-293090	A	20021004		
JP 2002-330694	A	20021114		
JP 2002-378959	A	20021227		
WO 2003-JP12477	W	20030930		
OS MARPAT 140:321649				
GI				

10/529,825

this has aryl groups, my app has  
alkyl/cycloalkyl groups - not the same -  
in the a/t positions



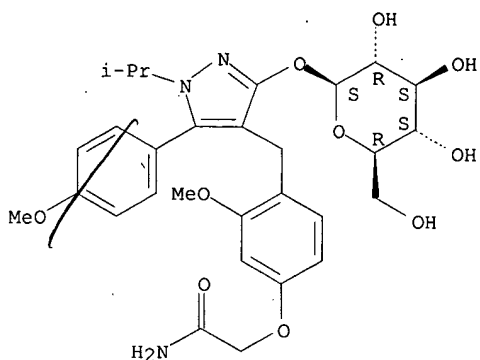
AB The title compds. [I; R = each (un)substituted C3-8 cycloalkyl, C6-10 aryl, C2-9 heterocycloalkyl, or C1-9 heteroaryl; R1 = H, each (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-8 cycloalkyl, C6-10 aryl, C2-9 heterocycloalkyl, or C1-9 heteroaryl; one of Q0 and T0 =  $\alpha$ - or  $\beta$ -D-glucopyranosyloxy or -mannopyranosyloxy or  $\beta$ -D-deoxyglucopyranosyloxy- and the other = (CH<sub>2</sub>)<sub>n</sub>Ar; wherein Ar = each (un)substituted C6-10 aryl or C1-9 heteroaryl; n = an integer of 0-2] or pharmacol. acceptable salts or prodrugs thereof are prepared Also disclosed are medicinal composition containing the compound I, medicinal use thereof, and intermediates in producing the same. These compds. exerts an excellent effect of inhibiting human 1,5-anhydroglucitol/fructose/mannose transporters and inhibit reabsorption or cellular uptake of glucose, fructose, and mannose in kidney or absorption of these saccharide small intestine and inhibit the increase in blood sugar. Therefore, they are useful as preventives, progress inhibitors or remedies for a disease caused by the over intake of at least one saccharide selected from among glucose, fructose, and mannose or a disease caused by hyperglycemia (diabetic complication, diabetes, or diabetic nephropathy). Thus, glycosidation of 1-isopropyl-5-(4-methoxyphenyl)-4-[(4-methoxyphenyl)methyl]-1,2-dihydro-3H-pyrazol-3-one by acetobromo- $\alpha$ -D-glucose in the presence of benzyltributylammonium bromide in a mixture of CH<sub>2</sub>Cl<sub>2</sub> and 5 N aqueous NaOH at room temperature for 1.5 h followed by treatment of the product with NaOMe in MeOH gave 3-( $\beta$ -D-glucopyranosyloxy)-1-isopropyl-5-(4-methoxyphenyl)-4-[(4-methoxyphenyl)methyl]-1H-pyrazole (II). II in vitro inhibited the uptake of [14C]methyl  $\alpha$ -D-glucopyranoside in COS-7 cells transfected with human SMINT/PME18S-FL expression plasmid with IC<sub>50</sub> of 92 nM.

IT 678994-69-9P 678994-70-2P 678994-71-3P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of pyrazolyl glycoside derivs. as inhibitors of 1,5-anhydroglucitol/fructose/mannose transporters and preventives, progress inhibitors or remedies for diabetic complication, diabetes, or diabetic nephropathy)

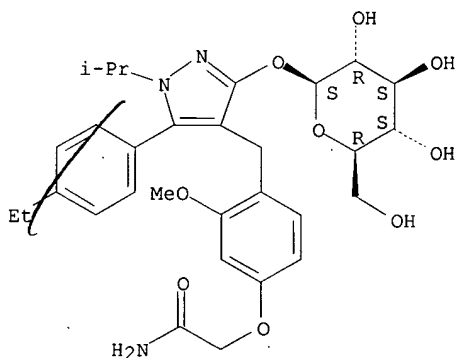
RN 678994-69-9 CAPLUS  
CN Acetamide, 2-[4-[[3-( $\beta$ -D-glucopyranosyloxy)-5-(4-methoxyphenyl)-1-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methoxyphenoxy]-. (9CI) (CA INDEX NAME)



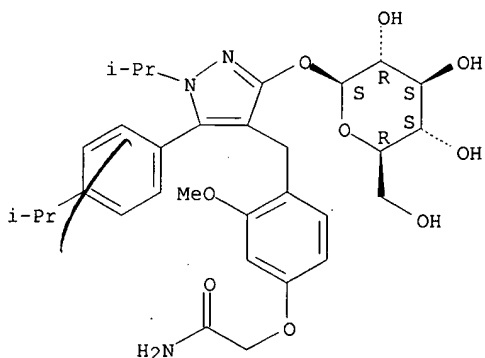
Absolute stereochemistry.



Absolute stereochemistry.



Absolute stereochemistry.



McIntosh

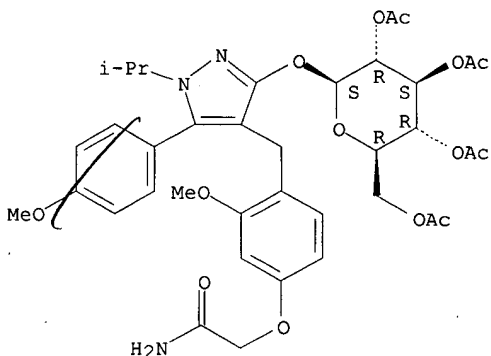
10/523,820

progress inhibitors or remedies for diabetic complication, diabetes, or diabetic nephropathy)

RN 678995-16-9 CAPLUS

CN Acetamide, 2-[3-methoxy-4-[[5-(4-methoxyphenyl)-1-(1-methylethyl)-3-[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)oxy]-1H-pyrazol-4-yl]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:143172 CAPLUS

DN 140:199632

TI Preparation of pyrazolyl glucopyranoside and galactopyranoside derivatives inhibitors of human sodium-glucose cotransporter 1 (SGLT1), medicinal composition containing the same, medicinal use thereof, and intermediate for production thereof

IN Teranishi, Hirotsuka; Fushimi, Nobuhiko; Yonekubo, Shigeru; Shimizu, Kazuo; Shibazaki, Toshihide; Isaji, Masayuki

PA Kissei Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 215 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO. ✓ KIND DATE APPLICATION NO. DATE

PI WO 2004014932 A1 20040219 WO 2003-JP10048 20030807

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2494179 A1 20040219 CA 2003-2494179 20030807

AU 2003254847 A1 20040225 AU 2003-254847 20030807

EP 1544208 A1 20050622 EP 2003-784564 20030807

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

BR 2003013290 A 20050705 BR 2003-13290 20030807

CN 1688596 A 20051026 CN 2003-823929 20030807

NZ 538117 A 20070126 NZ 2003-538117 20030807

US 2006166899 A1 20060727 US 2005-523820 20050204

NO 2005001209 A 20050415 NO 2005-1209 20050308

PRAI JP 2002-232074 A 20020808

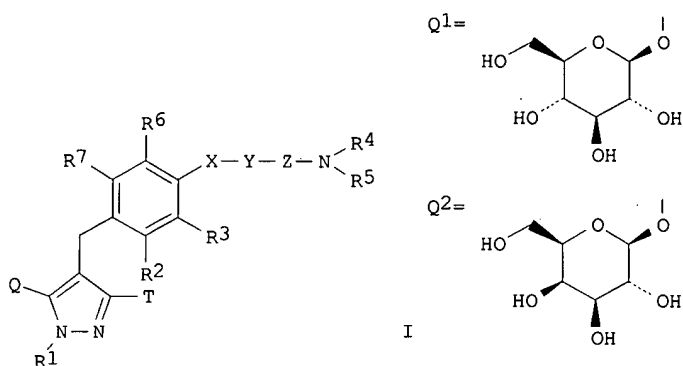
JP 2002-321729 A 20021105

WO 2003-JP10048 W 20030807

OS MARPAT 140:199632

GI

McIntosh



AB Pyrazoles derivs. represented by the general formula (I) [R1 = H, C1-5 alkyl, C2-5 alkenyl, hydroxy-C2-5 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-6 alkyl (un)substituted aryl or aryl-C1-6 alkyl; one of Q and T = Q1, Q2 and the other = C1-5 alkyl, halo-C1-6 alkyl, C1-6 alkoxy-C1-6 alkyl, C3-7 cycloalkyl; R2 = H, halo, OH, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, halo-C1-6 alkyl, halo-C1-6 alkoxy, C1-6 alkoxy-C1-6 alkoxy, C3-7 cycloalkyl-C2-6 alkoxy, etc.; X = a single bond, O, S; Y = a single bond, C1-6 alkylene, C2-6 alkenylene; Z = CO, SO2; R4, R5 = H, (un)substituted C1-6 alkyl; or NR4R5 together forms an (un)substituted C2-6 cyclic amino; R3, R6, R7 = H, halo, C1-6 alkyl, C1-6 alkoxy] or pharmacol. acceptable salts thereof or prodrug of either are prepared. These compds. have excellent human SGLT1 inhibitory activity and are useful as preventives or therapeutic agents for (1) diseases attributable to hyperglycemia such as diabetes, impaired glucose tolerance, complications of diabetes, obesity, hyperinsulinemia, hyperlipidemia, hypercholesteremia, hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, ischemic heart failure, edema, hyperuricemia, or gout and (2) diseases attributable to high level of galactose, galactosemia. For example, 3-(β-D-glucopyranosyloxy)-4-[[4-[3-[2-hydroxy-1,1-bis(hydroxymethyl)ethylcarbamoyl]propyl]phenyl]methyl]-5-isopropyl-1H-pyrazole at 1 mg/kg p.o. lowered blood glucose in diabetic rats from 297±35 to 178±19 mg/dL in 1 h.

IT 661479-73-8P 661479-74-9P 661479-75-0P  
661479-76-1P 661479-77-2P 661479-78-3P  
661480-16-6P 661480-17-7P 661480-18-8P  
661480-19-9P 661480-20-2P 661480-21-3P  
661480-22-4P 661480-24-6P 661480-25-7P  
661480-26-8P 661480-27-9P 661480-29-1P  
661480-32-6P 661480-35-9P 661480-37-1P  
661480-39-3P 661480-41-7P 661480-42-8P  
661480-43-9P 661480-48-4P 661480-51-9P  
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661480-58-6P 661480-59-7P 661480-65-5P

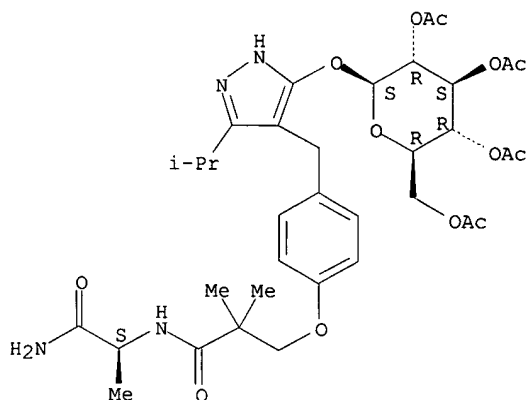
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolyl glucopyranoside and galactopyranoside derivs. inhibitors of human sodium-glucose cotransporter 1 (SGLT1) for preventives or therapeutics for diseases related to hyperglycemia or galactosemia)

RN 661479-73-8 CAPLUS

CN Propanamide, N-[(1S)-2-amino-1-methyl-2-oxoethyl]-2,2-dimethyl-3-[4-[(1-methylethyl)-5-[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)oxy]-1H-pyrazol-4-yl]methyl]phenoxy]- (9CI) (CA INDEX NAME)

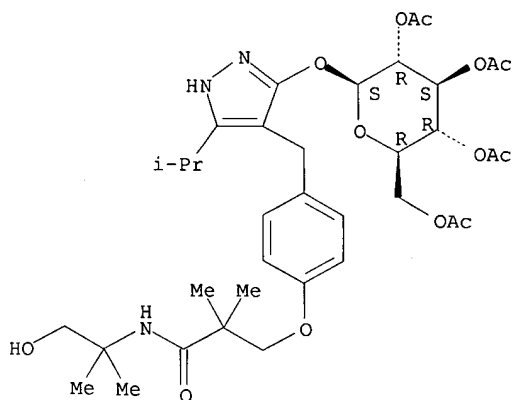
Absolute stereochemistry.



RN 661479-74-9 CAPLUS

CN Propanamide, N-(2-hydroxy-1,1-dimethylethyl)-2,2-dimethyl-3-[4-[[5-(1-methylethyl)-3-[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)oxy]-1H-pyrazol-4-yl]methyl]phenoxy]- (9CI) (CA INDEX NAME)

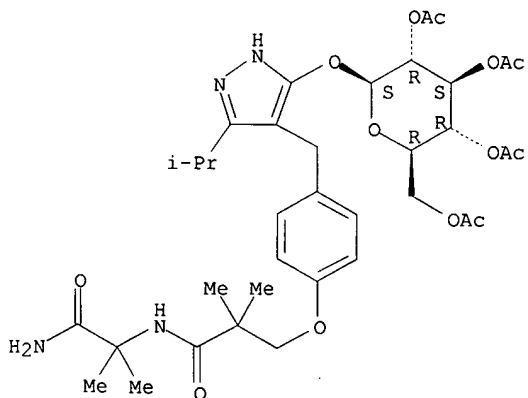
Absolute stereochemistry.



RN 661479-75-0 CAPLUS

CN Propanamide, N-(2-amino-1,1-dimethyl-2-oxoethyl)-2,2-dimethyl-3-[4-[[3-(1-methylethyl)-5-[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)oxy]-1H-pyrazol-4-yl]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



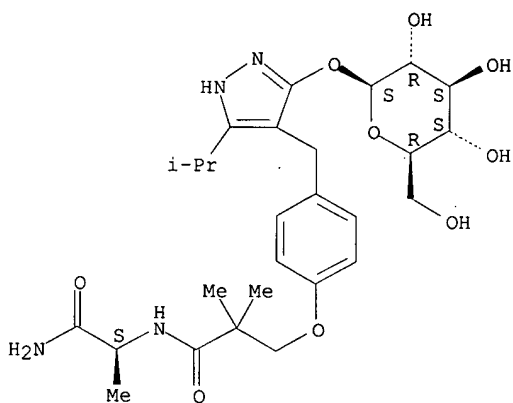
RN 661479-76-1 CAPLUS

McIntosh

10/523,820

CN Propanamide, N-[(1S)-2-amino-1-methyl-2-oxoethyl]-3-[4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl)methyl]phenoxy]-2,2-dimethyl- (9CI) (CA INDEX NAME)

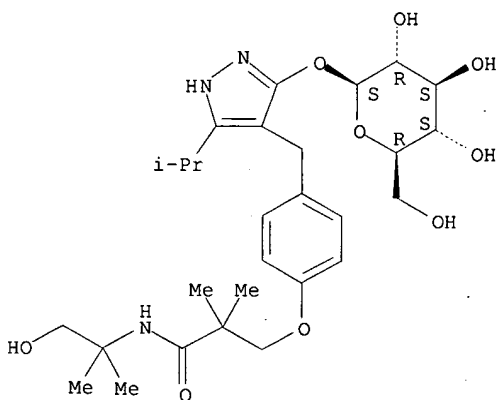
Absolute stereochemistry.



RN 661479-77-2 CAPLUS

CN Propanamide, 3-[4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl)methyl]phenoxy]-N-(2-hydroxy-1,1-dimethylethyl)-2,2-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

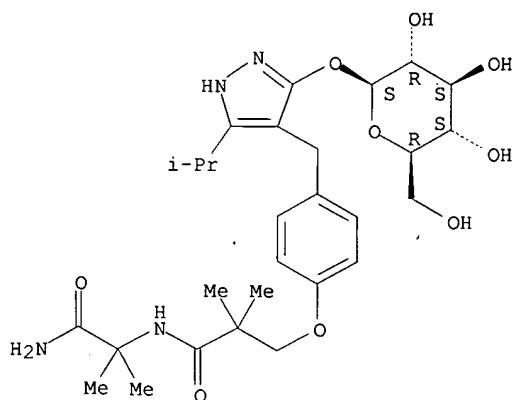


RN 661479-78-3 CAPLUS

CN Propanamide, N-(2-amino-1,1-dimethyl-2-oxoethyl)-3-[4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl)methyl]phenoxy]-2,2-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

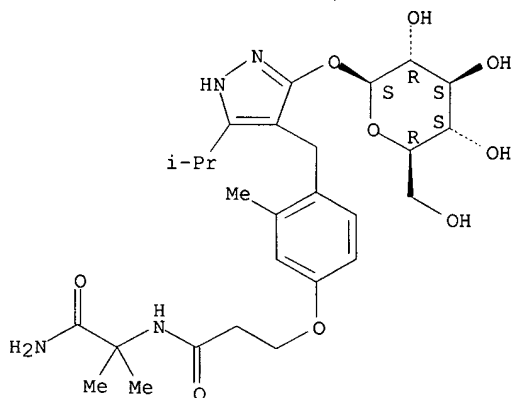
10/523,820



RN 661480-16-6 CAPLUS

CN Propanamide, N-(2-amino-1,1-dimethyl-2-oxoethyl)-3-[4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]- (9CI) (CA INDEX NAME)

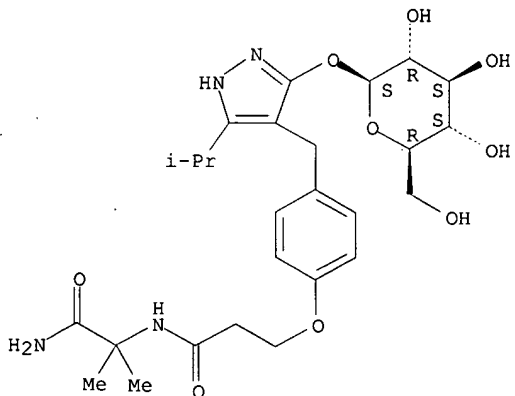
Absolute stereochemistry.



RN 661480-17-7 CAPLUS

CN Propanamide, 2-[[[3-[4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]phenoxy]-1-oxopropyl]amino]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



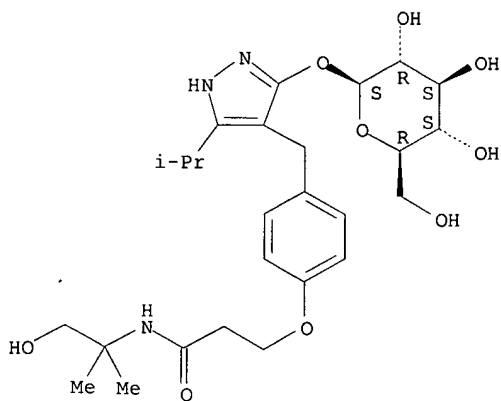
RN 661480-18-8 CAPLUS

McIntosh

10/523,820

CN Propanamide, 3-[4-[[3-( $\beta$ -D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]phenoxy]-N-(2-hydroxy-1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

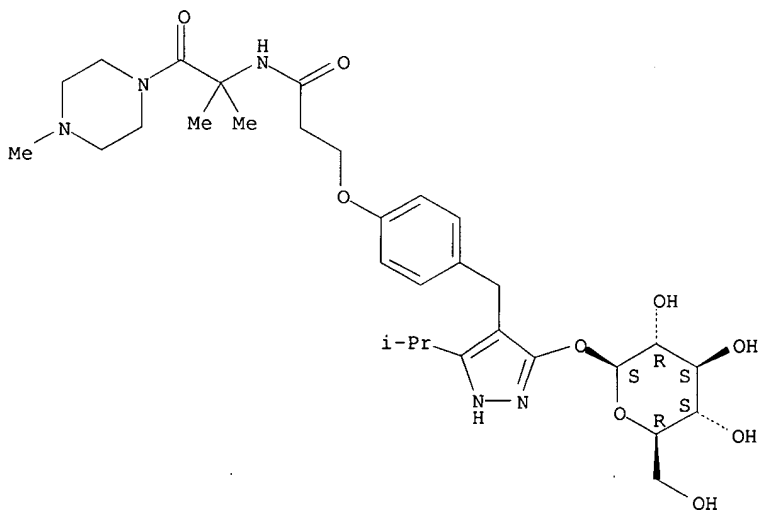
Absolute stereochemistry.



RN 661480-19-9 CAPLUS

CN Propanamide, N-[1,1-dimethyl-2-(4-methyl-1-piperazinyl)-2-oxoethyl]-3-[4-[[3-( $\beta$ -D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

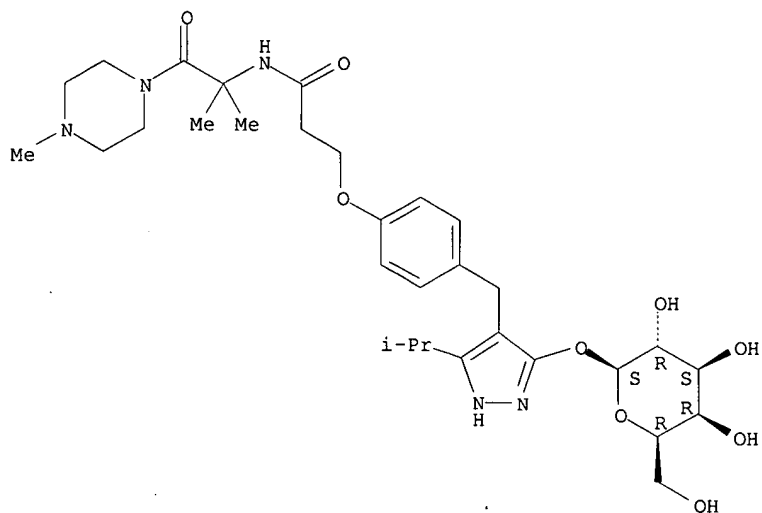


RN 661480-20-2 CAPLUS

CN Propanamide, N-[1,1-dimethyl-2-(4-methyl-1-piperazinyl)-2-oxoethyl]-3-[4-[[3-( $\beta$ -D-galactopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

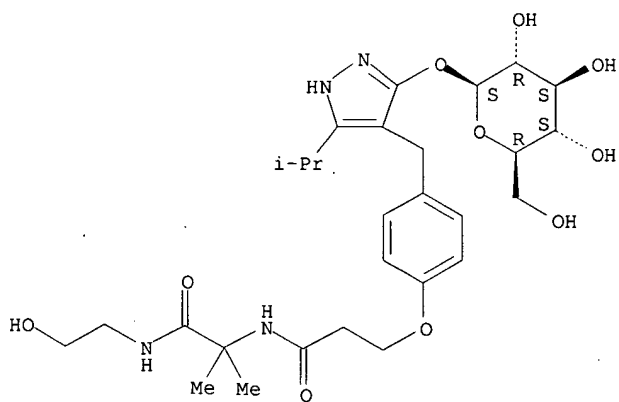
10/523,820



RN 661480-21-3 CAPLUS

CN Propanamide, 2-[[[3-[4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]phenoxy]-1-oxopropyl]amino]-N-(2-hydroxyethyl)-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



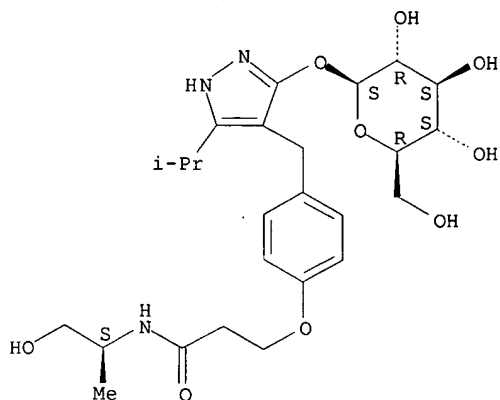
RN 661480-22-4 CAPLUS

CN Propanamide, 3-[4-[[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]phenoxy]-N-[(1S)-2-hydroxy-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



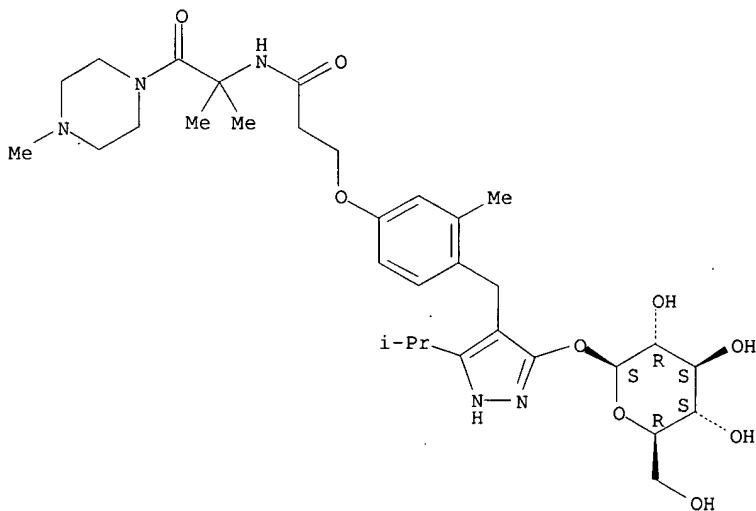
10/523,820



RN 661480-24-6 CAPLUS

CN Propanamide, N-[1,1-dimethyl-2-(4-methyl-1-piperazinyl)-2-oxoethyl]-3-[4-  
[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-  
3-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

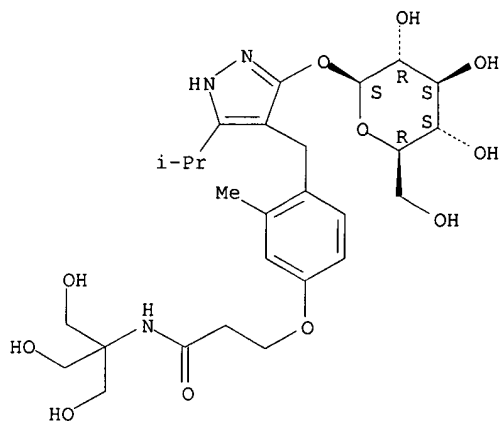


RN 661480-25-7 CAPLUS

CN Propanamide, 3-[4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-  
pyrazol-4-yl]methyl]-3-methylphenoxy]-N-[2-hydroxy-1,1-  
bis(hydroxymethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

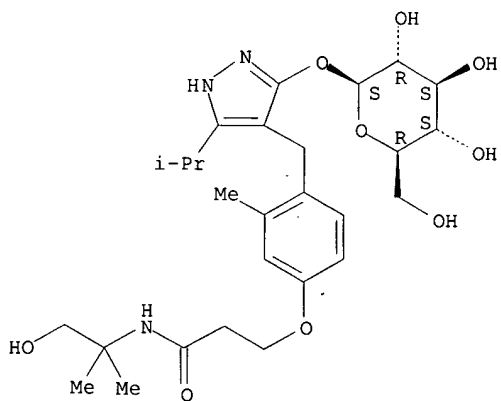
10/523,820



RN 661480-26-8 CAPLUS

CN Propanamide, 3-[4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]-N-(2-hydroxy-1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

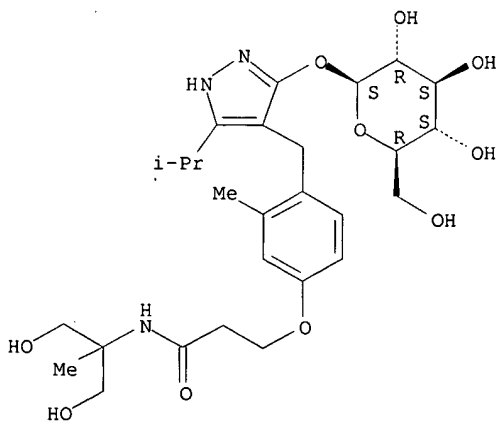
Absolute stereochemistry.



RN 661480-27-9 CAPLUS

CN Propanamide, 3-[4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]-N-[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



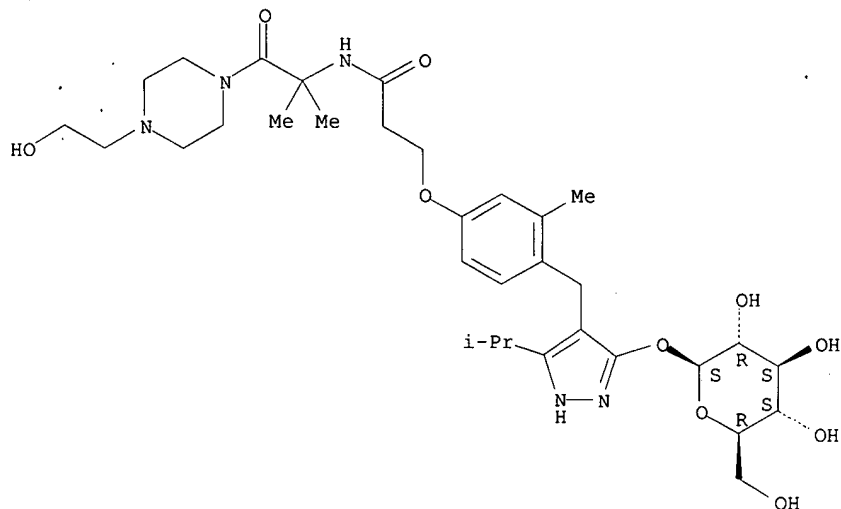
McIntosh

10/523,820

RN 661480-29-1 CAPLUS

CN Propanamide, 3-[4-[[3-( $\beta$ -D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]-N-[2-[4-(2-hydroxyethyl)-1-piperazinyl]-1,1-dimethyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

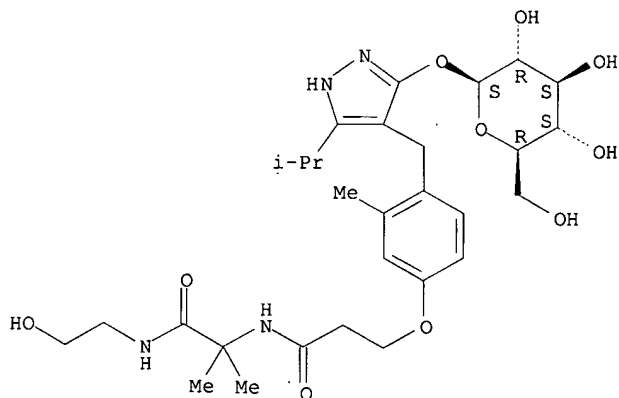
Absolute stereochemistry.



RN 661480-32-6 CAPLUS

CN Propanamide, 2-[[[3-[4-[[3-( $\beta$ -D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]-1-oxopropyl]amino]-N-(2-hydroxyethyl)-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



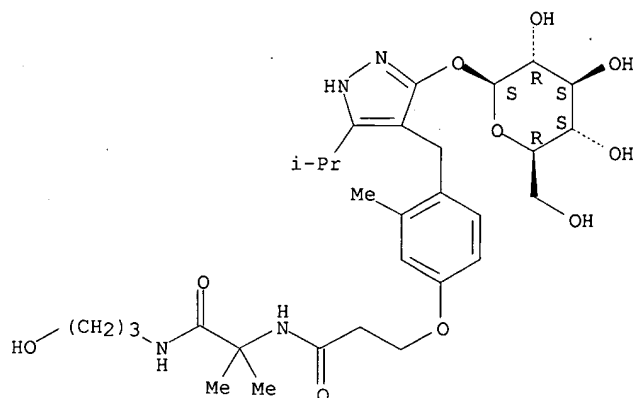
RN 661480-35-9 CAPLUS

CN Propanamide, 2-[[[3-[4-[[3-( $\beta$ -D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]-1-oxopropyl]amino]-N-(3-hydroxypropyl)-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

McIntosh

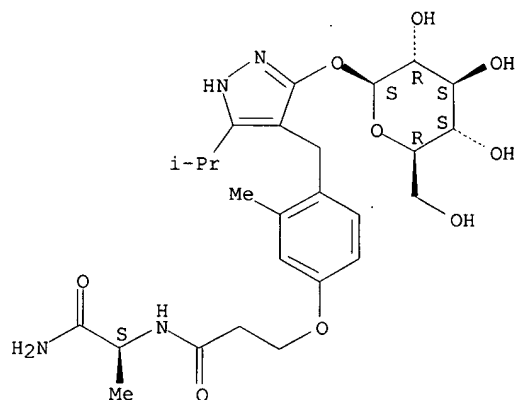
10/523,820



RN 661480-37-1 CAPLUS

CN Propanamide, N-[(1S)-2-amino-1-methyl-2-oxoethyl]-3-[4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]-(9CI) (CA INDEX NAME)

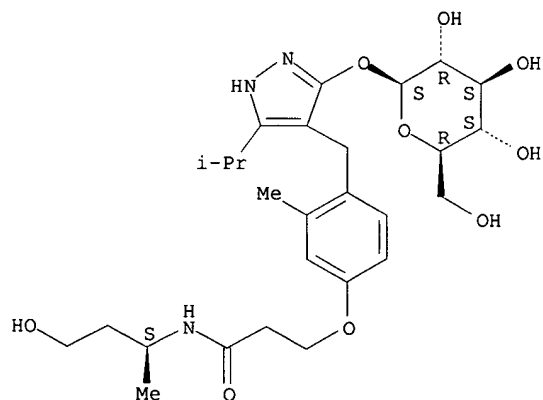
Absolute stereochemistry.



RN 661480-39-3 CAPLUS

CN Propanamide, 3-[4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]-N-[(1S)-3-hydroxy-1-methylpropyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



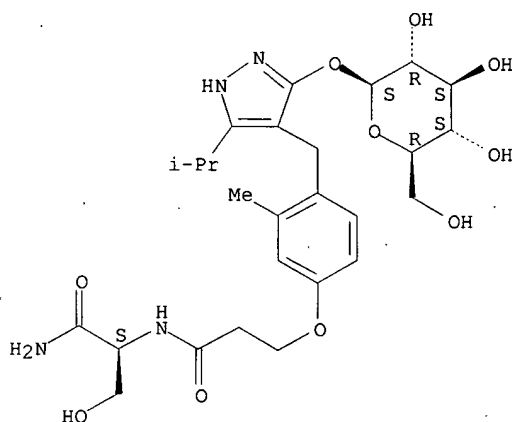
RN 661480-41-7 CAPLUS

McIntosh

10/523,820

CN Propanamide, N-[(1S)-2-amino-1-(hydroxymethyl)-2-oxoethyl]-3-{4-[[3-( $\beta$ -D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy}- (9CI) (CA INDEX NAME)

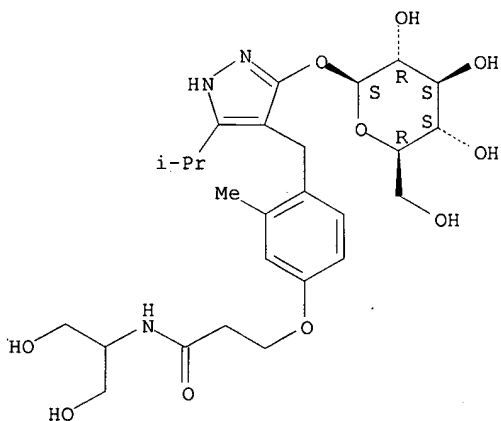
Absolute stereochemistry.



RN 661480-42-8 CAPLUS

CN Propanamide, 3-{4-[[3-( $\beta$ -D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy}-N-[2-hydroxy-1-(hydroxymethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

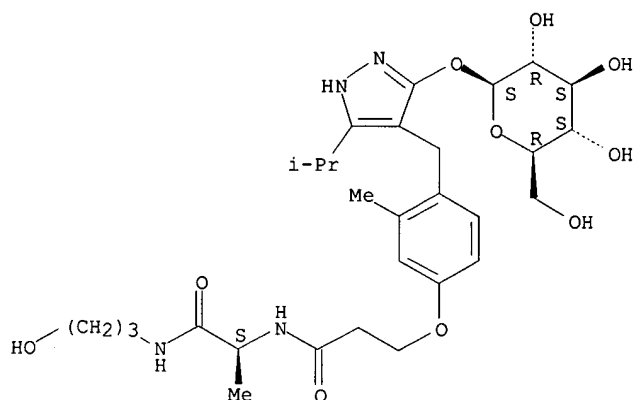


RN 661480-43-9 CAPLUS

CN Propanamide, 2-[[3-{4-[[3-( $\beta$ -D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy}-1-oxopropyl]amino]-N-(3-hydroxypropyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

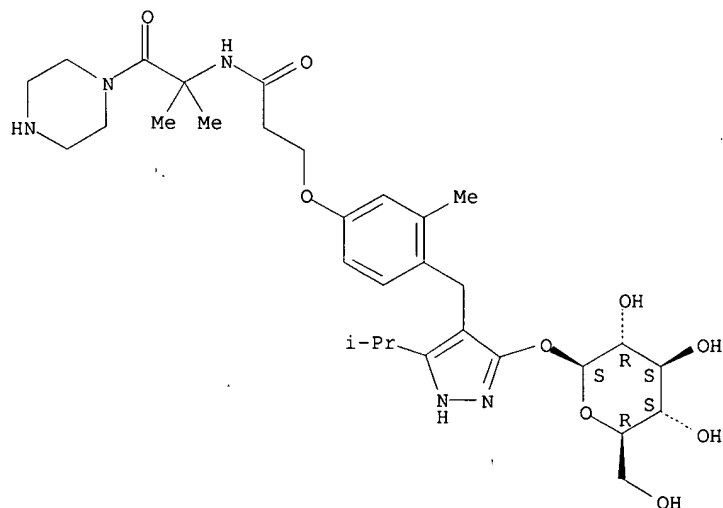
10/523,820



RN 661480-48-4 CAPLUS

CN Propanamide, N-{1,1-dimethyl-2-oxo-2-(1-piperazinyl)ethyl}-3-[4-[[3-( $\beta$ -D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

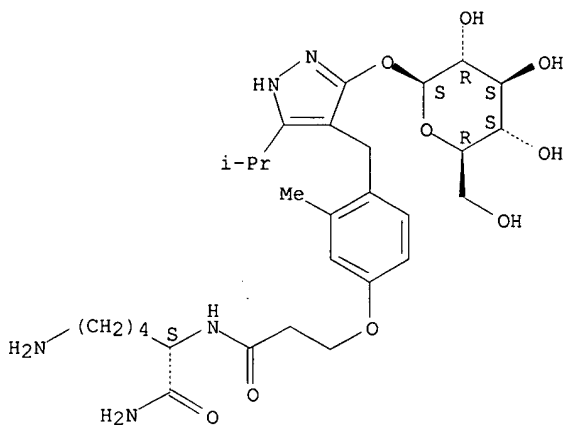


RN 661480-51-9 CAPLUS

CN Hexanamide, 6-amino-2-[[3-[4-[[3-( $\beta$ -D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]-1-oxopropyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

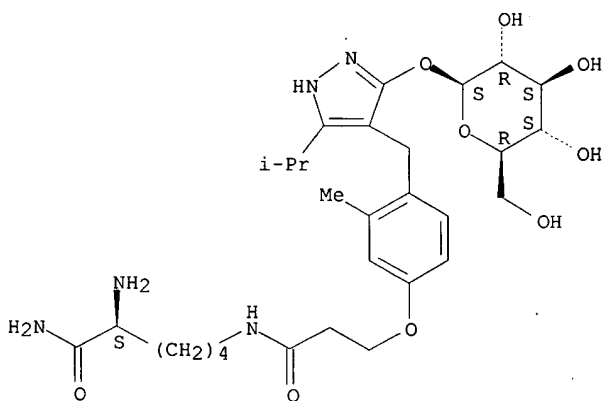
10/523,820



RN 661480-53-1 CAPLUS

CN Hexanamide, 2-amino-6-[[3-[4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]-1-oxopropyl]amino]-, (2S)-(9CI)] (CA INDEX NAME)

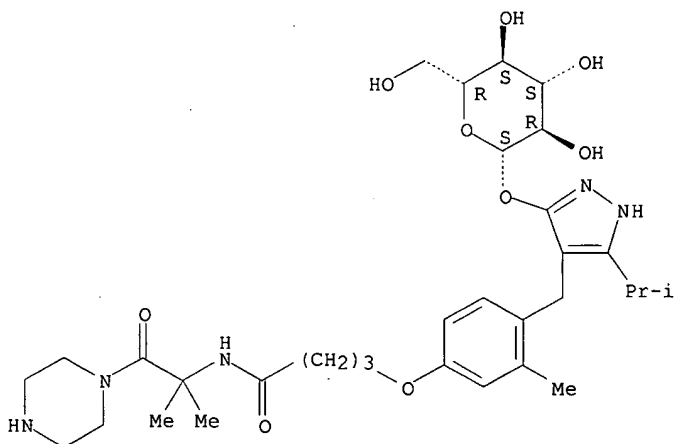
Absolute stereochemistry.



RN 661480-56-4 CAPLUS

CN Butanamide, N-[1,1-dimethyl-2-oxo-2-(1-piperazinyl)ethyl]-4-[4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]- (9CI)] (CA INDEX NAME)

Absolute stereochemistry.



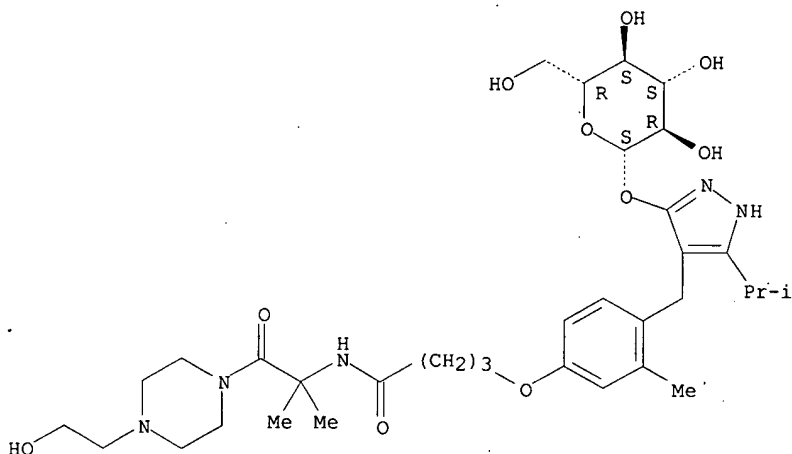
McIntosh

10/523,820

RN 661480-57-5 CAPLUS

CN Butanamide, 4-[4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]-N-[2-[4-(2-hydroxyethyl)-1-piperazinyl]-1,1-dimethyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

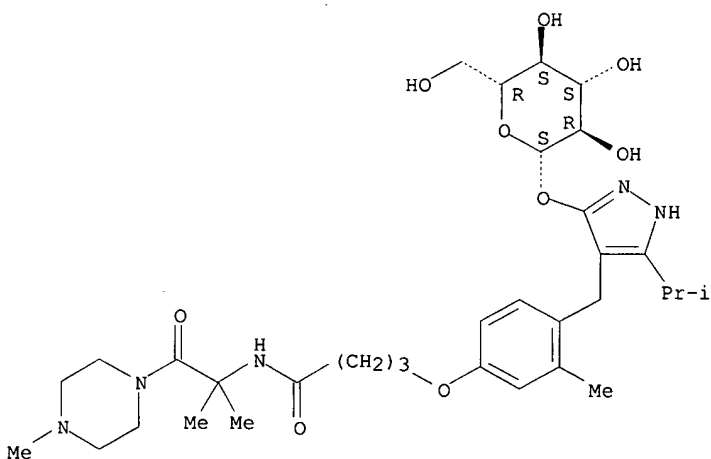
Absolute stereochemistry.



RN 661480-58-6 CAPLUS

CN Butanamide, N-[1,1-dimethyl-2-(4-methyl-1-piperazinyl)-2-oxoethyl]-4-[4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

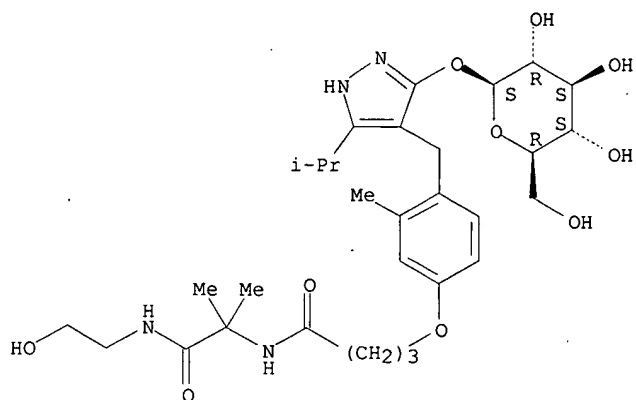


RN 661480-59-7 CAPLUS

CN Butanamide, 4-[4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]-N-[2-[(2-hydroxyethyl)amino]-1,1-dimethyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

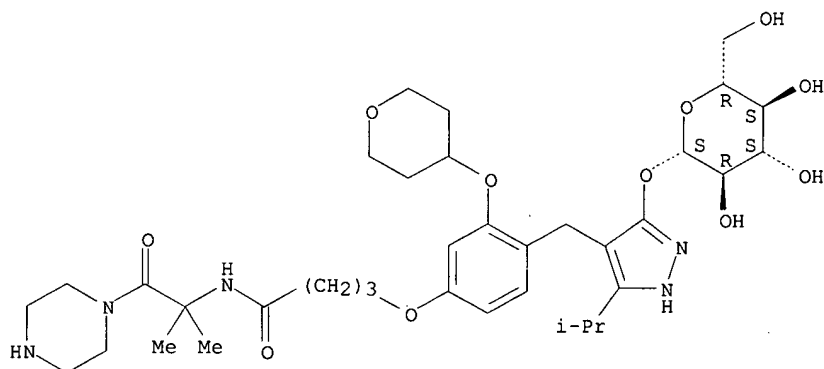




RN 661480-65-5 CAPLUS

Butanamide, N-[1,1-dimethyl-2-oxo-2-(1-piperazinyl)ethyl]-4-[4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-[[tetrahydro-2H-pyran-4-yl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT	661481-25-0P	661481-26-1P	661481-28-3P
	661481-29-2P	661481-30-7P	661481-31-8P
	661481-35-2P	661481-37-4P	661481-38-5P
	661481-39-6P	661481-40-9P	661481-41-0P
	661481-60-3P	661481-61-4P	661481-62-5P
	661481-63-6P		

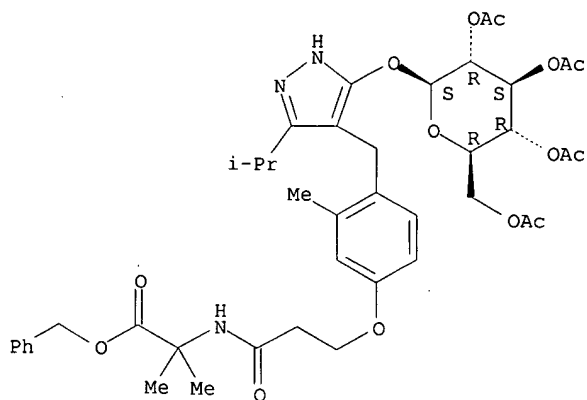
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazolyl glucopyranoside and galactopyranoside derivs.  
inhibitors of human sodium-glucose cotransporter 1 (SGLT1) for  
preventives or therapeutics for diseases related to hyperglycemia or  
galactosemia)

RN 661481-25-0 CAPLUS

CN Alanine, 2-methyl-N-[3-[3-methyl-4-[[3-(1-methylethyl)-5-[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)oxy]-1H-pyrazol-4-yl]methyl]phenoxy]-1-oxopropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

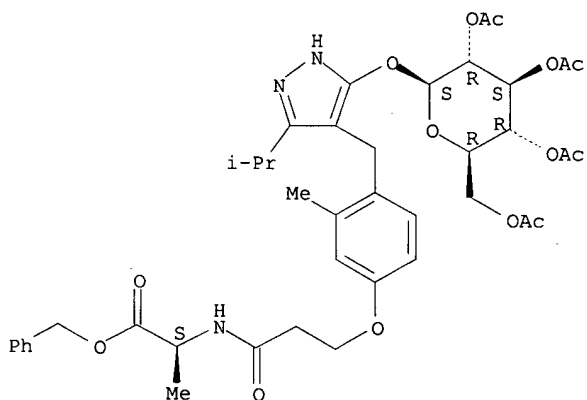
Absolute stereochemistry.



RN 661481-26-1 CAPLUS

CN L-Alanine, N-[3-[3-methyl-4-[[3-(1-methylethyl)-5-[(2,3,4,6-tetra-O-acetyl-  
 $\beta$ -D-glucopyranosyl)oxy]-1H-pyrazol-4-yl]methyl]phenoxy]-1-oxopropyl]-  
 , phenylmethyl ester (9CI) (CA INDEX NAME)

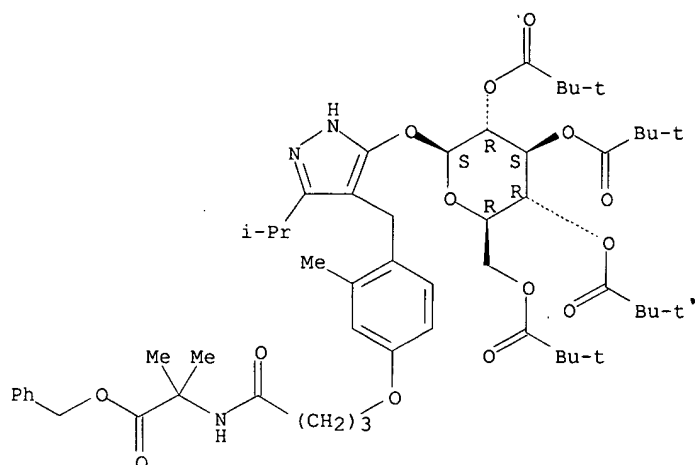
Absolute stereochemistry.



RN 661481-28-3 CAPLUS

CN Alanine, 2-methyl-N-[4-[3-methyl-4-[[3-(1-methylethyl)-5-[[2,3,4,6-  
 tetrakis-O-(2,2-dimethyl-1-oxopropyl)- $\beta$ -D-glucopyranosyl]oxy]-1H-  
 pyrazol-4-yl]methyl]phenoxy]-1-oxobutyl]-, phenylmethyl ester (9CI) (CA  
 INDEX NAME)

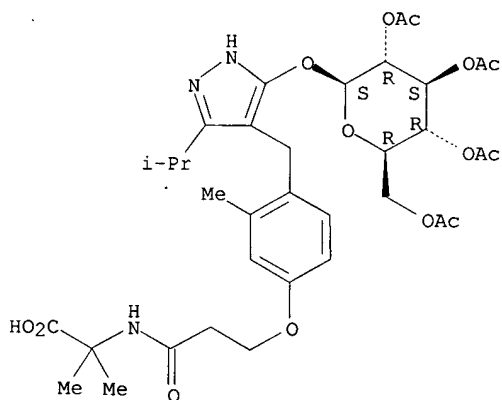
Absolute stereochemistry.



RN 661481-29-4 CAPLUS

CN Alanine, 2-methyl-N-[3-[3-methyl-4-[[3-(1-methylethyl)-5-[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)oxy]-1H-pyrazol-4-yl]methyl]phenoxy]-1-oxopropyl]- (9CI) (CA INDEX NAME)

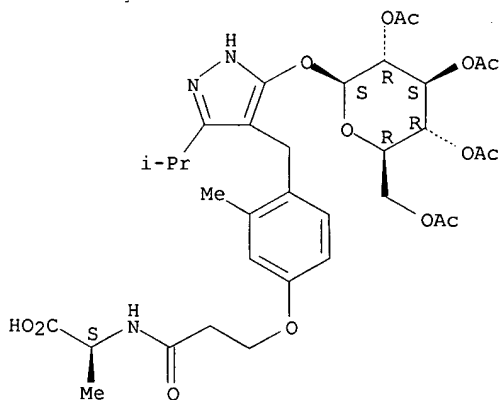
Absolute stereochemistry.



RN 661481-30-7 CAPLUS

CN L-Alanine, N-[3-[3-methyl-4-[[3-(1-methylethyl)-5-[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)oxy]-1H-pyrazol-4-yl]methyl]phenoxy]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



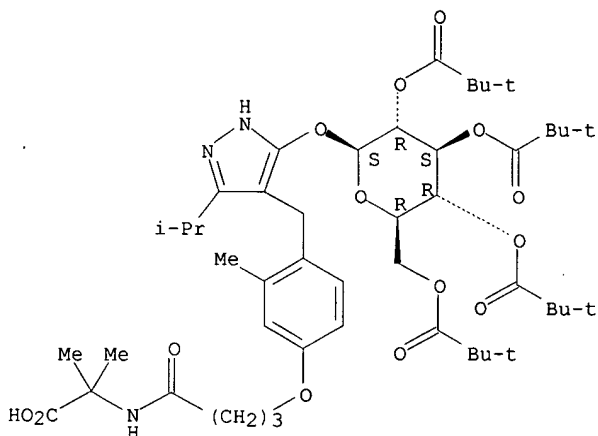
McIntosh

10/523,820

RN 661481-31-8 CAPLUS

CN Alanine, 2-methyl-N-[4-[3-methyl-4-[[3-(1-methylethyl)-5-[[2,3,4,6-tetrakis-O-(2,2-dimethyl-1-oxopropyl)- $\beta$ -D-glucopyranosyl]oxy]-1H-pyrazol-4-yl]methyl]phenoxy]-1-oxobutyl]- (9CI) (CA INDEX NAME)

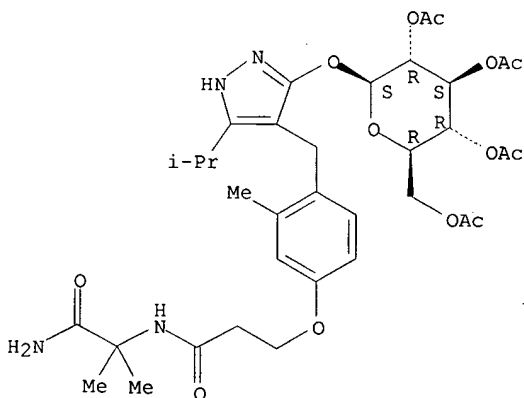
Absolute stereochemistry.



RN 661481-35-2 CAPLUS

CN Propanamide, N-(2-amino-1,1-dimethyl-2-oxoethyl)-3-[3-methyl-4-[[3-(1-methylethyl)-5-[[2,3,4,6-tetra-O-acetyl- $\beta$ -D-glucopyranosyl]oxy]-1H-pyrazol-4-yl]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

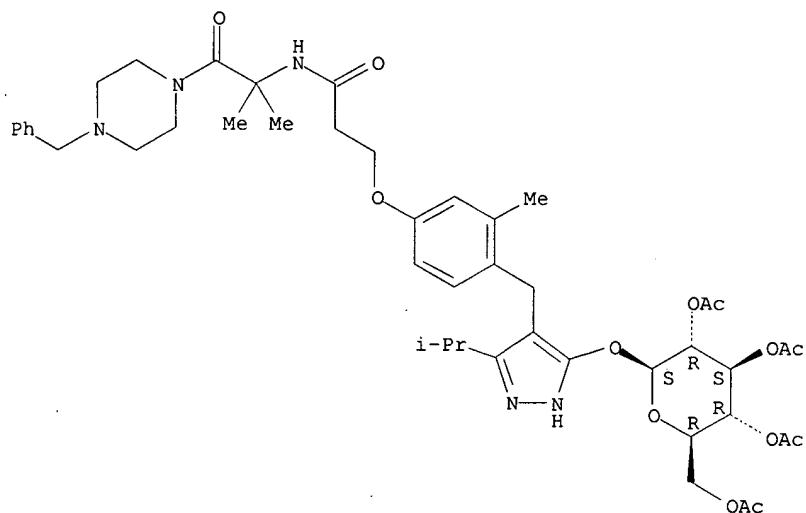


RN 661481-37-4 CAPLUS

CN Propanamide, N-[1,1-dimethyl-2-oxo-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]-3-[3-methyl-4-[[3-(1-methylethyl)-5-[[2,3,4,6-tetra-O-acetyl- $\beta$ -D-glucopyranosyl]oxy]-1H-pyrazol-4-yl]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

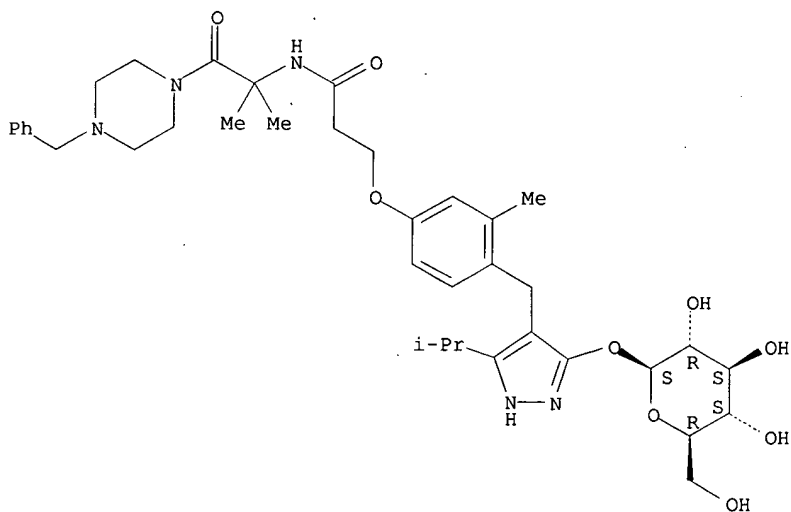
10/523,820



RN 661481-38-5 CAPLUS

CN Propanamide, N-[1,1-dimethyl-2-oxo-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]-3-[4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]- (9CI) (CA INDEX NAME)

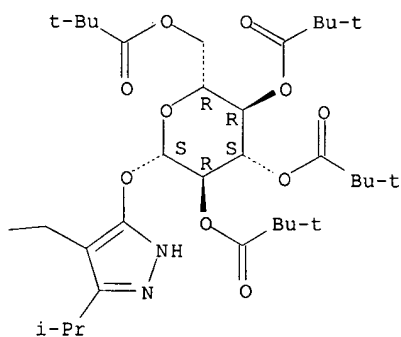
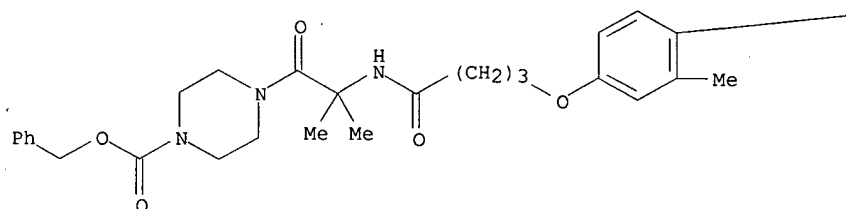
Absolute stereochemistry.



RN 661481-39-6 CAPLUS

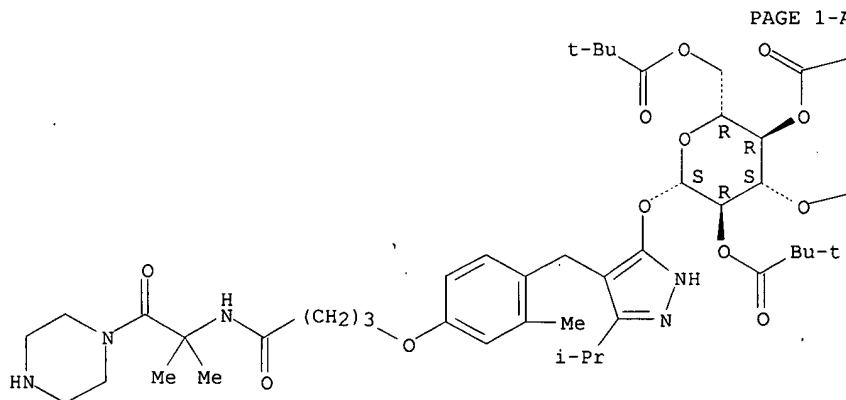
CN 1-Piperazinecarboxylic acid, 4-[2-methyl-2-[[4-[3-methyl-4-[[3-(1-methylethyl)-5-[[2,3,4,6-tetrakis-O-(2,2-dimethyl-1-oxopropyl)-β-D-glucopyranosyl]oxy]-1H-pyrazol-4-yl]methyl]phenoxy]-1-oxobutyl]amino]-1-oxopropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

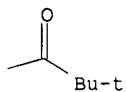


RN 661481-40-9 CAPLUS  
 CN Butanamide, N-[1,1-dimethyl-2-oxo-2-(1-piperazinyl)ethyl]-4-[3-methyl-4-  
 [[3-(1-methylethyl)-5-[[2,3,4,6-tetrakis-O-(2,2-dimethyl-1-oxopropyl)-  
 $\beta$ -D-glucopyranosyl]oxy]-1H-pyrazol-4-yl]methyl]phenoxy]- (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry.



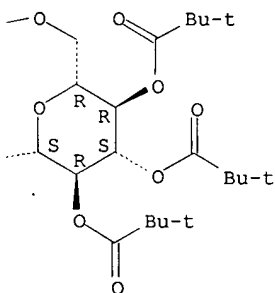
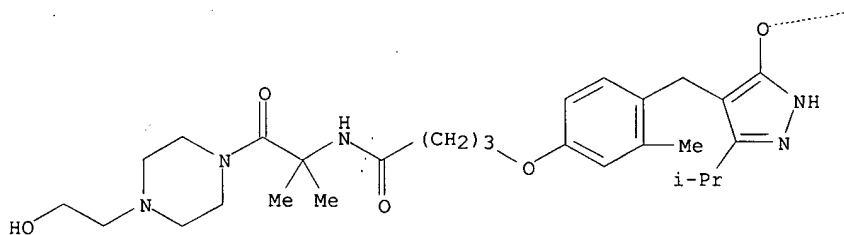
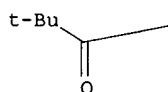
—Bu-t



RN 661481-41-0 CAPLUS

CN Butanamide, N-[2-[4-(2-hydroxyethyl)-1-piperazinyl]-1,1-dimethyl-2-oxoethyl]-4-[3-methyl-4-[[3-(1-methylethyl)-5-[[2,3,4,6-tetrakis-O-(2,2-dimethyl-1-oxopropyl)-β-D-glucopyranosyl]oxy]-1H-pyrazol-4-yl]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

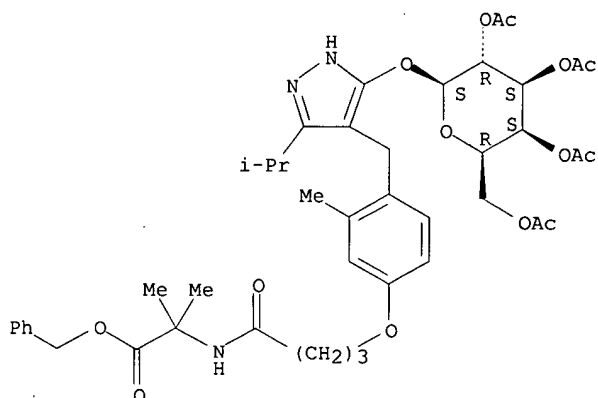


RN 661481-60-3 CAPLUS

CN Alanine, 2-methyl-N-[4-[3-methyl-4-[[3-(1-methylethyl)-5-[(2,3,4,6-tetra-O-acetyl-β-D-galactopyranosyl)oxy]-1H-pyrazol-4-yl]methyl]phenoxy]-1-oxobutyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

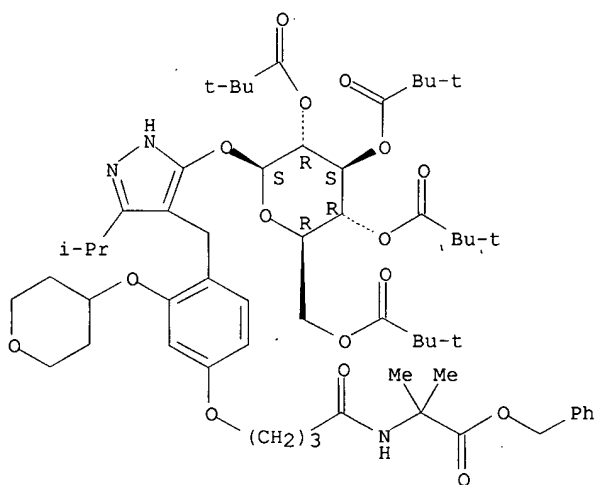
10/523,820



RN 661481-61-4 CAPLUS

CN Alanine, 2-methyl-N-[4-[4-[[3-(1-methylethyl)-5-[[2,3,4,6-tetrakis-O-(2,2-dimethyl-1-oxopropyl)-β-D-glucopyranosyl]oxy]-1H-pyrazol-4-yl]methyl]-3-[(tetrahydro-2H-pyran-4-yl)oxy]phenoxy]-1-oxobutyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

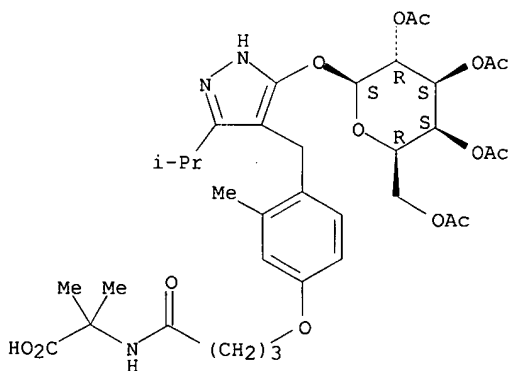
Absolute stereochemistry.



RN 661481-62-5 CAPLUS

CN Alanine, 2-methyl-N-[4-[3-methyl-4-[[3-(1-methylethyl)-5-[(2,3,4,6-tetra-O-acetyl-β-D-galactopyranosyl)oxy]-1H-pyrazol-4-yl]methyl]phenoxy]-1-oxobutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



McIntosh

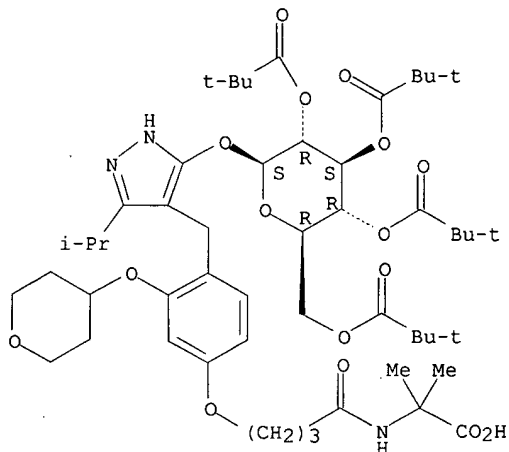


10/523,820

RN 661481-63-6 CAPLUS

CN Alanine, 2-methyl-N-[4-[4-[[3-(1-methylethyl)-5-[[2,3,4,6-tetrakis-O-(2,2-dimethyl-1-oxopropyl)- $\beta$ -D-glucopyranosyl]oxy]-1H-pyrazol-4-yl]methyl]-3-[(tetrahydro-2H-pyran-4-yl)oxy]phenoxy]-1-oxobutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:950052 CAPLUS

DN 140:13040

TI Combined use of TACE inhibitors and COX2 inhibitors as anti-inflammatory agents

IN Duan, Jingwu

PA USA

SO U.S. Pat. Appl. Publ., 20 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003225054	A1	20031204	US 2003-453036	20030603
PRAI	US 2002-385656P	P	20020603		
OS	MARPAT 140:13040				

AB This invention relates to a method of treating inflammatory diseases in a mammal comprising administering to the mammal a therapeutically effective amount of a combination of: (i) at least one TACE inhibitor, (ii) one or more anti-inflammatory agents selected from the group consisting of: selective COX-2 inhibitors, interleukin-1 antagonists, dihydroorotate synthase inhibitors, p38 MAP kinase inhibitors, TNF- $\alpha$  inhibitors, TNF- $\alpha$  sequestration agents, and methotrexate. The invention also relates to compns. and kits containing the same.

IT 461664-87-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

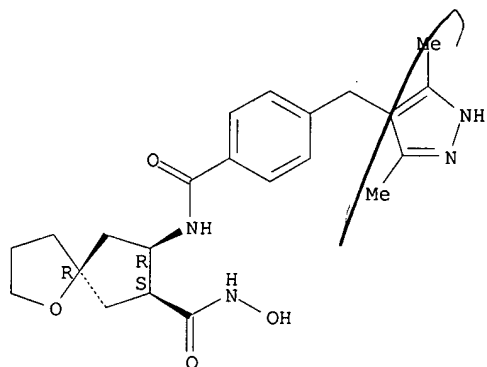
(Biological study); USES (Uses)

(combined use of TACE inhibitors and COX2 inhibitors as anti-inflammatory agents)

RN 461664-87-9 CAPLUS

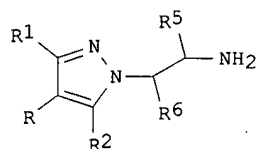
CN 1-Oxaspiro[4.4]nonane-7-carboxamide, 8-[[4-[(3,5-dimethyl-1H-pyrazol-4-yl)methyl]benzoyl]amino]-N-hydroxy-, (5R,7S,8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

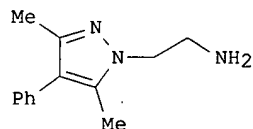


L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2003:551497 CAPLUS  
 DN 139:117419  
 TI Preparation of 1-(aminoethyl)-substituted-1H-pyrazoles for use in diseases associated with the 5-HT<sub>2c</sub> receptor  
 IN Ladouceur, Gaetan H.; Velthuisen, Emil; Choi, Soongyou; Wang, Yamin; Baryza, Jeremy L.; Coish, Phillip; Bullock, William; Smith, Roger; Chen, Michael  
 PA Bayer Corporation, USA  
 SO PCT Int. Appl., 99 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003057673	A1	20030717	WO 2002-US41634	20021228
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2471880	A1	20030717	CA 2002-2471880	20021228
AU 2002360818	A1	20030724	AU 2002-360818	20021228
EP 1465871	A1	20041013	EP 2002-796100	20021228
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2005119246	A1	20050602	US 2003-499786	20021228
JP 2005516964	T	20050609	JP 2003-557990	20021228
PRAI US 2001-344149P	P	20011228		
WO 2002-US41634	W	20021228		
OS MARPAT 139:117419				
GI				



I



II

AB Title compds. I [R = H, alk(en/yn)yl, aryl, etc.; R1-2 = H, alk(en/yn)yl; R5-6 = H, alkyl] are prepared For instance, 4-bromo-3,5-dimethylpyrazole is coupled to phenylboronic acid (PhMe, PdCl<sub>2</sub>(PPh<sub>3</sub>)<sub>2</sub>, Na<sub>2</sub>CO<sub>3</sub>, 90°, 18 h) and alkylated with 2-chloroethylamine•HCl (CH<sub>3</sub>CN, NaOH) to give II. Example compds. have an effect on the 5-HT<sub>2c</sub> receptor at ≤ 10

10/523,820

μM. I are useful for the treatment of obesity.

IT 562816-63-1P 562816-64-2P 562816-65-3P

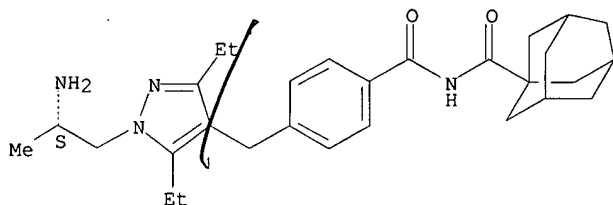
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1-(aminoethyl)-substituted-1H-pyrazoles for use in diseases associated with the 5-HT<sub>2c</sub> receptor)

RN 562816-63-1 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxamide, N-[4-[[1-[(2S)-2-aminopropyl]-3,5-diethyl-1H-pyrazol-4-yl]methyl]benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

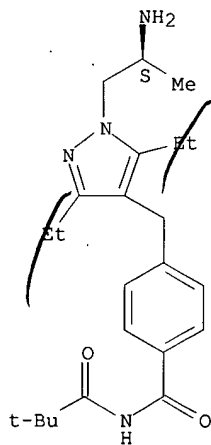


● HCl

RN 562816-64-2 CAPLUS

CN Benzamide, 4-[[1-[(2S)-2-aminopropyl]-3,5-diethyl-1H-pyrazol-4-yl]methyl]-N-(2,2-dimethyl-1-oxopropyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

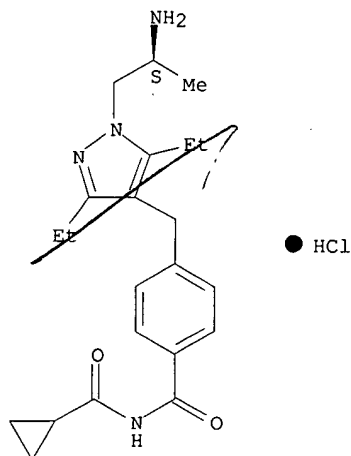


● HCl

RN 562816-65-3 CAPLUS

CN Benzamide, 4-[[1-[(2S)-2-aminopropyl]-3,5-diethyl-1H-pyrazol-4-yl]methyl]-N-(cyclopropylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

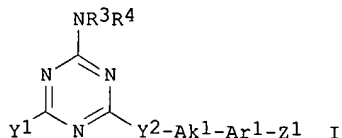
Absolute stereochemistry.



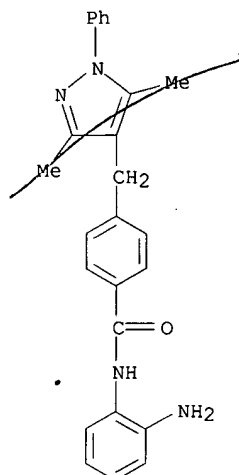
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2003:242160 CAPLUS  
DN 138:271705  
TI Preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase  
IN Delorme, Daniel; Woo, Soon Hyung; Vaisburg, Arkadii; Moradel, Oscar; Leit, Silvana; Raepel, Stephane; Frechette, Sylvie; Bouchain, Giliane  
PA Methylgene, Inc., Can.  
SO PCT Int. Appl., 347 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003024448	A2	20030327	WO 2002-US29017	20020912
	WO 2003024448	A3	20031113		
	W:		AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW		
	RW:		GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
	CA 2465978	A1	20030327	CA 2002-2465978	20020912
	EP 1429765	A2	20040623	EP 2002-763627	20020912
	R:		AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK		
	BR 2002012510	A	20040824	BR 2002-12510	20020912
	CN 1578663	A	20050209	CN 2002-822690	20020912
	JP 2005508905	T	20050407	JP 2003-528544	20020912
	JP 3795044	B2	20060712		
	IN 2004KN00257	A	20061110	IN 2004-KN257	20040225
	JP 2005255683	A	20050922	JP 2005-80310	20050318
	AU 2006252047	A1	20070111	AU 2006-252047	20061214
PRAI	US 2001-322402P	P	20010914		
	US 2002-391728P	P	20020626		
	AU 2002-327627	A3	20020912		
	JP 2003-528544	A3	20020912		
	WO 2002-US29017	W	20020912		
OS	MARPAT 138:271705				
GI					

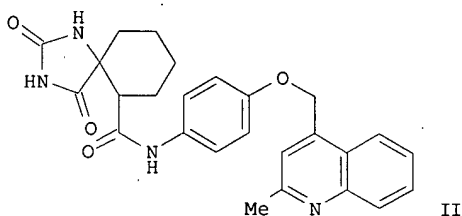
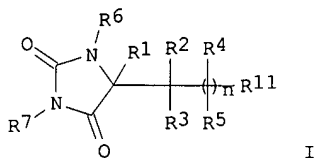


- AB The invention relates to triazines (shown as I; variables defined below; e.g. 4-[[4-amino-6-(2-indanylamino)-[1,3,5]triazin-2-ylamino]methyl]-N-(2-aminophenyl)benzamide) and Cy<sub>3</sub>-X<sub>1</sub>-Ar<sub>2</sub>-(C(R<sub>5</sub>):C(R<sub>6</sub>))<sup>q</sup>C(O)NH-Ay<sub>2</sub> (II; variables defined below; e.g. ), many of which are N-(o-aminophenyl)carboxamides, as inhibitors of histone deacetylase (data included for many I and II). The invention provides compds. and methods for inhibiting histone deacetylase enzymic activity. The invention also provides compns. and methods for treating cell proliferative diseases and conditions. Antineoplastic effects of some I and II are illustrated for colorectal, pulmonary and pancreatic neoplasms; also the combined antineoplastic effect of histone deacetylase inhibitors and histone deacetylase antisense oligonucleotides on tumor cells in vivo was demonstrated. For I: R<sub>3</sub> and R<sub>4</sub> = H, L<sub>1</sub>, Cyl and -L<sub>1</sub>-Cyl (L<sub>1</sub> = C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> heteroalkyl, or C<sub>3</sub>-C<sub>6</sub> alkenyl; Cyl = cycloalkyl, aryl, heteroaryl, or heterocyclyl) or R<sub>3</sub> and R<sub>4</sub> are taken together with the adjacent N atom to form a 5-, 6-, or 7-membered ring, wherein the ring atoms = C, O, S, and N, and wherein the ring is optionally substituted, and optionally forms part of a bicyclic ring system, or is optionally fused to one or two aryl or heteroaryl rings, or to one or two saturated or partially unsatd. cycloalkyl or heterocyclic rings, each of which rings and ring systems is optionally substituted. Y<sub>1</sub> = -N(R<sub>1</sub>)(R<sub>2</sub>), -CH<sub>2</sub>-C(O)-N(R<sub>1</sub>)(R<sub>2</sub>), halogen, and H (R<sub>1</sub> and R<sub>2</sub> = H, L<sub>1</sub>, Cyl, and -L<sub>1</sub>-Cyl). Y<sub>2</sub> = chemical bond or N(R<sub>0</sub>) (R<sub>0</sub> = H, alkyl, aryl, aralkyl, and acyl); Ak<sub>1</sub> = C<sub>1</sub>-C<sub>6</sub> alkylene, C<sub>1</sub>-C<sub>6</sub>-heteroalkylene (preferably, in which one -CH<sub>2</sub>- is replaced with -NH-, and more preferably -NH-CH<sub>2</sub>), C<sub>2</sub>-C<sub>6</sub> alkenylene or C<sub>2</sub>-C<sub>6</sub> alkynylene; Ar<sub>1</sub> = arylene or heteroarylene, either of which is optionally substituted; and Z<sub>1</sub> = C(O)NH-Ay<sub>1</sub> and CH:CHC(O)NH-Ay<sub>1</sub> (Ay<sub>1</sub> = aryl or heteroaryl, each of which is optionally substituted). For II: Cy<sub>2</sub> = cycloalkyl, aryl, heteroaryl, or heterocyclyl; X<sub>1</sub> = covalent bond, M<sub>1</sub>-L<sub>2</sub>-M<sub>1</sub>, and L<sub>2</sub>-M<sub>2</sub>-L<sub>2</sub> (L<sub>2</sub> = chemical bond, C<sub>1</sub>-C<sub>4</sub> alkylene, C<sub>2</sub>-C<sub>4</sub> alkenylene, and C<sub>2</sub>-C<sub>4</sub> alkynylene, provided that L<sub>2</sub> is not a chemical bond when X<sub>1</sub> is M<sub>1</sub>-L<sub>2</sub>-M<sub>1</sub>; M<sub>1</sub> = -O-, -N(R<sub>7</sub>)-, -S-, -S(O)-, S(O)<sub>2</sub>-, -S(O)<sub>2</sub>N(R<sub>7</sub>)-, -N(R<sub>7</sub>)S(O)<sub>2</sub>-, -C(O)-, -C(O)NH-, -NHC(O)-, -NHC(O)-O- and -OC(O)NH- (R<sub>7</sub> = H, alkyl, aryl, aralkyl, acyl, heterocyclyl, and heteroaryl); and M<sub>2</sub> = M<sub>1</sub>, heteroarylene, and heterocyclylene, either of which rings is optionally substituted). Ar<sub>2</sub> = arylene or heteroarylene, each of which is optionally substituted; R<sub>5</sub> and R<sub>6</sub> = H, alkyl, aryl, and aralkyl; q is 0 or 1; and Ay<sub>2</sub> is a 5-6 membered cycloalkyl, heterocyclyl, or heteroaryl substituted with an amino or hydroxy moiety (preferably these groups are ortho to the amide N to which Ay<sub>2</sub> is attached) and further optionally substituted; provided that when Cy<sub>2</sub> is naphthyl, X<sub>1</sub> is -CH<sub>2</sub>-, Ar<sub>2</sub> is Ph, R<sub>5</sub> and R<sub>6</sub> are H, and q is 0 or 1, Ay<sub>2</sub> is not Ph or o-hydroxyphenyl. Although the methods of preparation are not claimed, hundreds of example preps. are included.
- IT 503040-37-7P, N-(2-Aminophenyl)-4-[(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methyl]benzamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase for treating cell proliferative disorders)
- RN 503040-37-7 CAPLUS  
 CN Benzamide, N-(2-aminophenyl)-4-[(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2002:927249 CAPLUS  
 DN 138:14059  
 TI Preparation of spiro-fused hydantoin derivatives as inhibitors of matrix metalloproteinases  
 IN Sheppeck, James E.; Duan, Jingwu; Xue, Chu-Biao; Wasserman, Zelda  
 PA Bristol-Myers Squibb Company, USA  
 SO PCT Int. Appl., 350 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002096426	A1	20021205	WO 2002-US16381	20020523
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2447475	A1	20021205	CA 2002-2447475	20020523
	US 2003130273	A1	20030710	US 2002-155575	20020523
	US 6890915	B2	20050510		
	EP 1397137	A1	20040317	EP 2002-741724	20020523
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	JP 2004535411	T	20041125	JP 2002-592936	20020523
	US 2004209874	A1	20041021	US 2004-844219	20040512
	US 6906053	B2	20050614		
	US 2005171096	A1	20050804	US 2005-93670	20050330
PRAI	US 2001-293571P	P	20010525		
	US 2002-155575	A3	20020523		
	WO 2002-US16381	W	20020523		
	US 2004-844219	A3	20040512		
OS	MARPAT 138:14059				
GI					



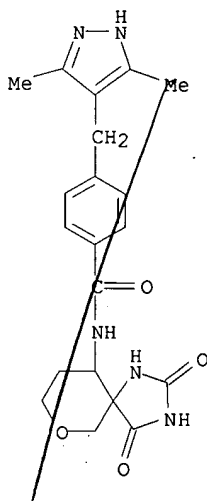
AB Title compds. I [R11 = W-U-X-Y-Z-Ua-Xa-Ya-Za; W = alkyl, alkenylene, alkynylene; U = absent, amino, CO, alkyl, carboxy, etc.; X = absent, alk(en/yn)ylene; Y = absent, O, amino, SO<sub>2</sub>, CO; Z = (hetero)cycle; Ua = absent, O, amino, CO, alkyl, carboxy, etc.; Xa = absent, alk(en/yn)ylene; Ya = absent, O, amino, SO<sub>2</sub>, CO; Za = (hetero)cycle; R1-2 together with the carbon atoms to which they are attached, combine to form a 3-8 membered carbocyclic or heterocyclic ring; R3 = H, CHF<sub>2</sub>, CH<sub>2</sub>F, CF<sub>3</sub>, alk(en/yn)ylene, etc.; R4-7 = H, alk(en/yn)yl; n = 0-1] were prepared. For instance, 2-(ethylcarboxy)cyclohexanone was treated with ammonium carbonate and potassium cyanide (EtOHaq, 50°, 24 h) to afford the corresponding hydantoin ester which was hydrolyzed to the carboxylic acid and coupled to 4-[(2-methyl-4-quinolinyl)methoxy]aniline•2HCl (DMSO, PyBOP) to give II which was isolated as the trifluoroacetate. I are useful as inhibitors of matrix metalloproteinases (MMP), TNF-α converting enzyme (TACE), aggrecanase, or a combination thereof.

IT 477582-04-0P 477582-08-4P, N-(2,4-Dioxo-7-oxa-1,3-diazaspiro[4.5]dec-10-yl)-4-[(1,3,5-trimethyl-1H-pyrazol-4-yl)methyl]benzamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(hydantion derivs. as inhibitors of matrix metalloproteinases)

RN 477582-04-0 CAPLUS

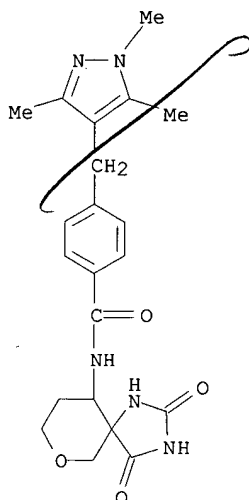
CN Benzamide, 4-[(3,5-dimethyl-1H-pyrazol-4-yl)methyl]-N-(2,4-dioxo-7-oxa-1,3-diazaspiro[4.5]dec-10-yl)- (9CI) (CA INDEX NAME)



RN 477582-08-4 CAPLUS

CN Benzamide, N-(2,4-dioxo-7-oxa-1,3-diazaspiro[4.5]dec-10-yl)-4-[(1,3,5-

trimethyl-1H-pyrazol-4-yl)methyl]- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2002:736225 CAPLUS  
DN 137:262960  
TI Preparation of spiro-cyclic  $\beta$ -amino acid derivatives as inhibitors of  
matrix metalloproteinases and TNF- $\alpha$  converting enzyme (TACE)  
IN Ott, Gregory R.; Chen, Xiaotao; Duan, Jingwu; Voss, Matthew E.  
PA Bristol-Myers Squibb Company, USA  
SO PCT Int. Appl., 187 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002074738	A2	20020926	WO 2002-US7652	20020312
WO 2002074738	A3	20030403		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2439539	A1	20020926	CA 2002-2439539	20020312
US 2003087882	A1	20030508	US 2002-96804	20020312
US 6720329	B2	20040413		
EP 1373199	A2	20040102	EP 2002-728458	20020312
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004132693	A1	20040708	US 2003-741326	20031218
US 6962938	B2	20051108		
PRAI US 2001-275898P	P	20010315		
US 2002-96804	A3	20020312		
WO 2002-US7652	W	20020312		

OS MARPAT 137:262960

AB Novel spiro-cyclic  $\beta$ -amino acid derivs. C-B-NR1CO-Z-Ua-Xa-Ya-Za [C-B represents a spiro-cyclic ring system, where rings B and C are 3-13 membered carbocycles or heterocycles; ring B is bonded to NR1 via ACR2aCR2b-; A = alkanoyl, CO2H or ester, CH2CO2H, CONHOH, SH, CH2SH, etc.; R2a = H, alkyl, OH, alkoxy, an amino group, S(O)p (p = 0-2), etc.; R2b = H, alkyl; R1 = H, alkyl, Ph, PhCH2; Z is absent or is a carbocycle or heterocycle; Ua is absent or is O, NH, alkylimino, CO, CO2, O2C, CONH,



S(O)p, etc.; Xa is absent or is alkylene, alkenylene, or alkynylene; Ya is absent or is O, NH, alkylimino, S(O)p, CO; Za = H, carbocycle, or heterocycle] or their pharmaceutically-acceptable salts were prepared as matrix metalloproteinases (MMP), TNF- $\alpha$  converting enzyme (TACE), and/or aggrecanase inhibitors. Thus, (7S,8R)-N-hydroxy-8-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1,4-dioxaspiro[4.4]nonane-7-carboxamide was prepared by a multistep synthesis starting from (1S,2R)-1-Me cis-1,2,3,6-tetrahydrophthalate. The latter underwent sequential esterification with benzyl alc., oxidative ring opening with KMnO<sub>4</sub>, and recyclization with Ac<sub>2</sub>O/NaOAc to yield intermediate benzyl Me (1S,2R)-4-oxo-1,2-cyclopentanedicarboxylate.

IT 461664-87-9P 461664-88-0P

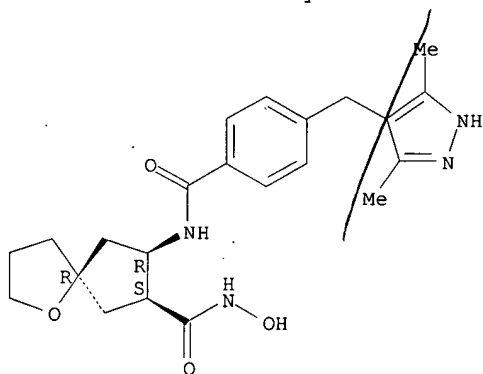
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of spiro-cyclic  $\beta$ -amino acid derivs. as inhibitors of matrix metalloproteinases and TNF- $\alpha$  converting enzyme (TACE))

RN 461664-87-9 CAPLUS

CN 1-Oxaspiro[4.4]nonane-7-carboxamide, 8-[[4-[(3,5-dimethyl-1H-pyrazol-4-yl)methyl]benzoyl]amino]-N-hydroxy-, (5R,7S,8R)- (9CI) (CA INDEX NAME)

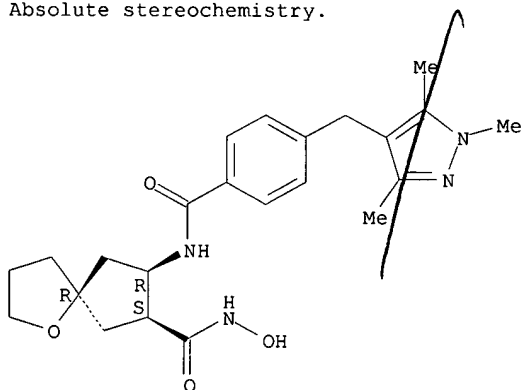
Absolute stereochemistry.



RN 461664-88-0 CAPLUS

CN 1-Oxaspiro[4.4]nonane-7-carboxamide, N-hydroxy-8-[[4-[(1,3,5-trimethyl-1H-pyrazol-4-yl)methyl]benzoyl]amino]-, (5R,7S,8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 461665-66-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

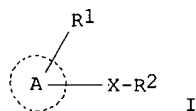
(preparation of spiro-cyclic  $\beta$ -amino acid derivs. as inhibitors of matrix metalloproteinases and TNF- $\alpha$  converting enzyme (TACE))

RN 461665-66-7 CAPLUS

CN 1-Oxaspiro[4.4]nonane-7-carboxylic acid, 8-[[4-[(3,5-dimethyl-1H-pyrazol-4-yl)methyl]benzoyl]amino]-, methyl ester, (5R,7S,8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002018350	A1	20020307	WO 2001-JP7397	20010829
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2001082520	A5	20020313	AU 2001-82520	20010829
	JP 2002145778	A	20020522	JP 2001-259683	20010829
PRAI	JP 2000-264499	A	20000829		
	WO 2001-JP7397	W	20010829		
OS	MARPAT 136:232313				
GT					



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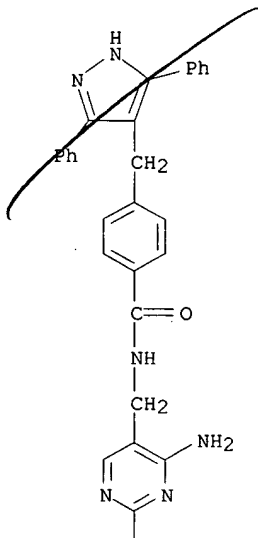
(Uses)

(preparation of pyrimidine derivs. as G protein-coupled receptor kinase (GRK) inhibitors for prevention and/or treatment for cardiac failure)

RN 403515-13-9 CAPLUS

CN Benzamide, N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-4-[(3,5-diphenyl-1H-pyrazol-4-yl)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

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RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 13:42:38 ON 01 APR 2007)

FILE 'REGISTRY' ENTERED AT 13:43:00 ON 01 APR 2007

L1 STRUCTURE UPLOADED

L2 5 S L1 SSS SAM

L3 72 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:43:48 ON 01 APR 2007

L4 12 S L3